

STIC-EIC1600/2900

331973

From: STIC-EIC1600/2900@uspto.gov
Sent: Wednesday, May 19, 2010 1:41 PM
To: Ricci, Craig D.
Cc: STIC-EIC1600/2900
Subject: Confirmation Receipt: 1600 Search Request - 10/593,950

This is an automated email confirming that your 1600 Search Request has been received by STIC's EIC1600.

Thank you for using STIC services.

Requester

Name: **RICCI, CRAIG D**
Organization: TC 1600
Art Unit: 1628
Employee Number:
Office Location:
Phone Number:
Email:

Request Detail

Attachment: **No**

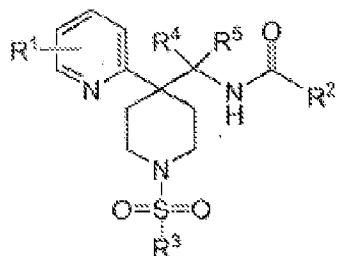
Case/Application number: **10/593,950 PALM**
Priority App. Filing Date:
Format for Search Results: **SCORE & EMAIL**

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:

Please search formula 1e in claim 11 in the claimset filed 3/03/2010. Thank you.



Ic

or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from the group consisting of:

- (1) hydrogen,
- (2) C₁-alkyl,
- (3) fluoro,
- (4) -CF₃,
- (5) -morpholinyl, and
- (6) -O-C₁-3alkyl.

R² is phenyl, which is substituted with R^{2a}, R^{2b} and R^{2c}.

R^{2a}, R^{2b} and R^{2c} are independently selected from the group consisting of:

- (1) hydrogen,
- (2) halogen,
- (3) -C₁-6alkyl,
- (4) -CF₃,
- (5) -OCF₃,
- (6) -OCHE₂,
- (7) -SCF₃,
- (8) -SCH₂, and
- (9) -NH₂.

R³ is C₁-6alkyl, which is unsubstituted or substituted with 1-6 halogen, hydroxyl,

-NR¹⁰R¹¹, or heterocycle, which is substituted with R^{2a}, R^{2b} and R^{2c}.

Serial#: 10/593,950
STRUCTURE SEARCH

=> FILE REG

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 21 MAY 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 MAY 2010 HIGHEST RN 1224835-85-1
DICTIONARY FILE UPDATES: 20 MAY 2010 HIGHEST RN 1224835-85-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

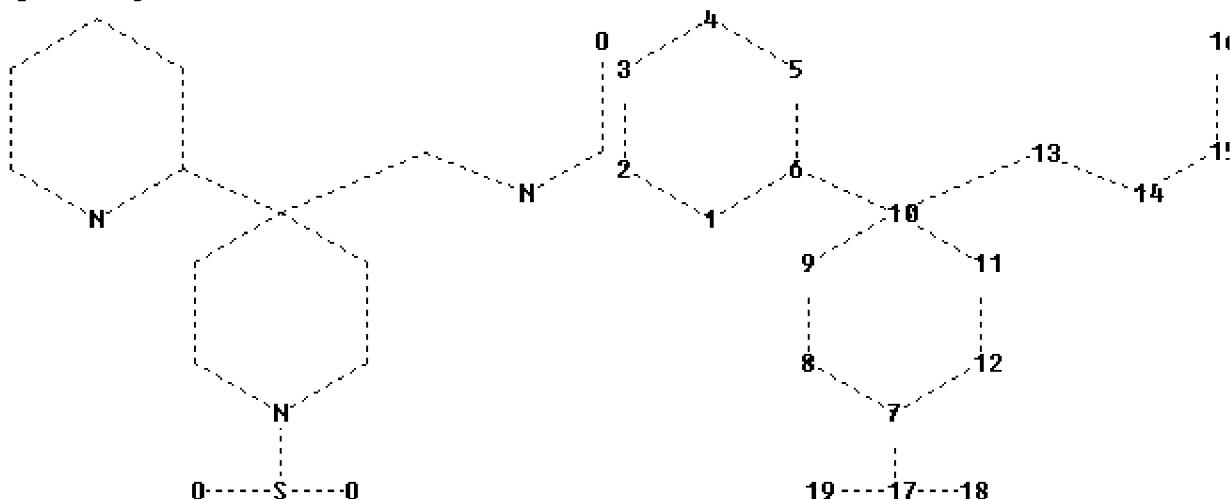
TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

Uploading LL3.str



ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
ring/chain nodes :
13 14 15 16 17 18 19
chain bonds :
6-10 7-17 10-13 13-14 14-15 15-16 17-18 17-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-10 7-8 7-12 7-17 8-9 9-10 10-11 10-13 11-12
13-14 14-15 15-16 17-18 17-19

Serial#: 10/593,950

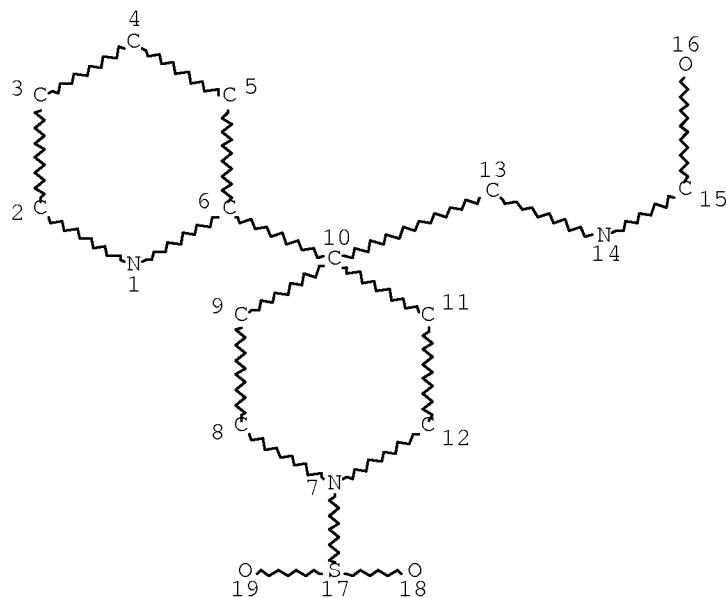
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

=> FILE HCAPLUS

=> D STAT QUE L3

L1 STR



NODE ATTRIBUTES:

NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS R AT 7
NSPEC IS R AT 8
NSPEC IS R AT 9
NSPEC IS R AT 10
NSPEC IS R AT 11
NSPEC IS R AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
NSPEC IS RC AT 18
NSPEC IS RC AT 19

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 13 14 15 16 17 18 19

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 19

Serial#: 10/593,950

STEREO ATTRIBUTES: NONE
L2 (165)SEA FILE=REGISTRY SSS FUL L1
L3 7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L2

=> FILE WPIX

FILE 'WPIX' ENTERED AT 16:02:15 ON 21 MAY 2010
COPYRIGHT (C) 2010 THOMSON REUTERS

FILE LAST UPDATED: 14 MAY 2010 <20100514/UP>
MOST RECENT UPDATE: 201031 <201031/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
and FI-Terms have been updated with reclassifications to
end of March 2010.
No update date (UP) has been created for the reclassified
documents, but they can be identified by
specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)
STN USER DOCUMENTATION, PLEASE VISIT:
http://www.stn-international.com/stn_dwpi.html <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

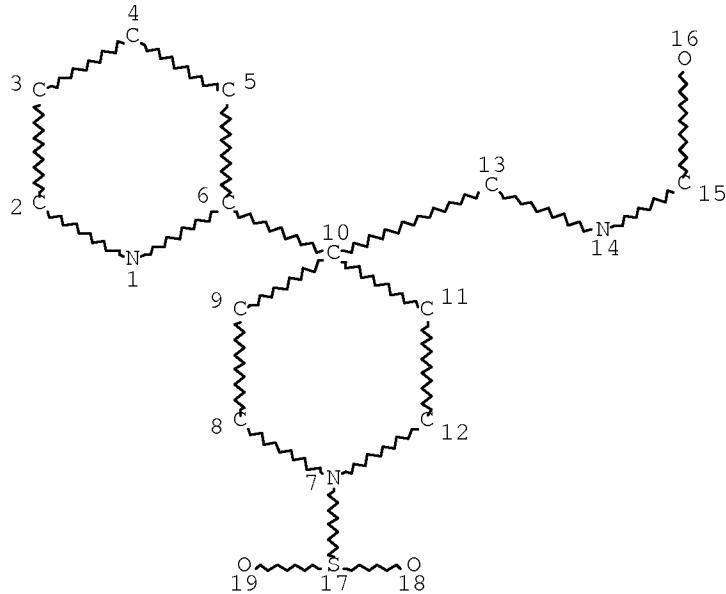
>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

=> D STAT QUE L42

L38 STR



Serial#: 10/593,950

NODE ATTRIBUTES:

NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS R AT 7
NSPEC IS R AT 8
NSPEC IS R AT 9
NSPEC IS R AT 10
NSPEC IS R AT 11
NSPEC IS R AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
NSPEC IS RC AT 18
NSPEC IS RC AT 19
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 13 14 15 16 17 18 19
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L41 92 SEA FILE=WPIX SSS FUL L38
L42 3 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L41/DCR

=> FILE MARPAT

FILE 'MARPAT' ENTERED AT 16:02:29 ON 21 MAY 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 152 ISS 20 (20100514/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

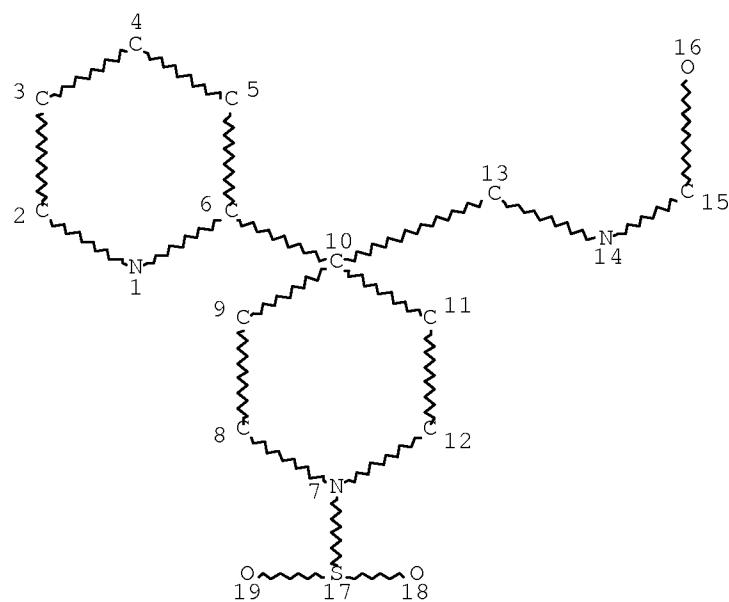
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20100081847 01 APR 2010
DE 102008042149 18 MAR 2010
EP 2168957 31 MAR 2010
JP 2010074011 02 APR 2010
WO 2010036977 01 APR 2010
GB 2463568 24 MAR 2010
FR 2936146 26 MAR 2010
RU 2385893 10 APR 2010
CA 2678295 05 MAR 2010

The new MARPAT User Guide is now available at:
<http://www.cas.org/support/stngen/stndoc/marpat.html>.

=> D STAT QUE L62
L38 STR

Serial#: 10/593,950



NODE ATTRIBUTES:

```
NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS R AT 7
NSPEC IS R AT 8
NSPEC IS R AT 9
NSPEC IS R AT 10
NSPEC IS R AT 11
NSPEC IS R AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
NSPEC IS RC AT 18
NSPEC IS RC AT 19
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 13 14 15 16 17 18 19
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L62 12 SEA FILE=MARPAT SSS FUL L38

100.0% PROCESSED 10376 ITERATIONS
SEARCH TIME: 00.00.02

12 ANSWERS

Serial#: 10/593,950

=> DUP REMOVE L3 L42 L62

FILE 'HCAPLUS' ENTERED AT 16:02:50 ON 21 MAY 2010

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIX' ENTERED AT 16:02:50 ON 21 MAY 2010

COPYRIGHT (C) 2010 THOMSON REUTERS

FILE 'MARPAT' ENTERED AT 16:02:50 ON 21 MAY 2010

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2010 American Chemical Society (ACS)

PROCESSING COMPLETED FOR L3

PROCESSING COMPLETED FOR L42

PROCESSING COMPLETED FOR L62

L63 15 DUP REMOVE L3 L42 L62 (7 DUPLICATES REMOVED)

ANSWERS '1-7' FROM FILE HCAPLUS

ANSWERS '8-15' FROM FILE MARPAT

L63 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2007:509742 HCAPLUS Full-text

DOCUMENT NUMBER: 146:500900

TITLE: Preparation of piperidine glycine transporter inhibitors

INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg, Scott E.; Nolt, Brad M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007053400	A2	20070510	WO 2006-US41699	20061027
WO 2007053400	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006309050	A1	20070510	AU 2006-309050	20061027
CA 2627177	A1	20070510	CA 2006-2627177	20061027
EP 1942893	A2	20080716	EP 2006-826685	20061027
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009513653	T	20090402	JP 2008-537924	20061027
US 20090270451	A1	20091029	US 2008-84027	20080423
PRIORITY APPLN. INFO.:			US 2005-731010P	P 20051028
			WO 2006-US41699	W 20061027

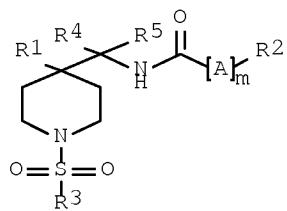
Serial#: 10/593,950

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

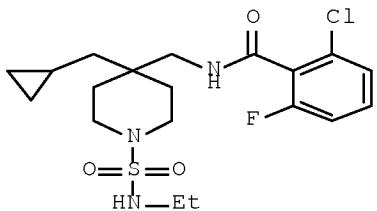
OTHER SOURCE(S):

MARPAT 146:500900

GI



I



II

AB The title compds. I [R1 = (CH₂)_nR_{1a} (wherein n = 0-6; R_{1a} = (un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R₂ = (un)substituted Ph, heterocyclyl, cycloalkyl, etc.; R₃ = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R₄, R₅ = H, alkyl; or R₄ and R₅ taken together form a cycloalkyl ring; A = O, NR₁₀ (R₁₀ = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [¹⁴C]glycine, generally with an IC₅₀ value of less than about 10 μM. Pharmaceutical composition comprising the compound I is disclosed.

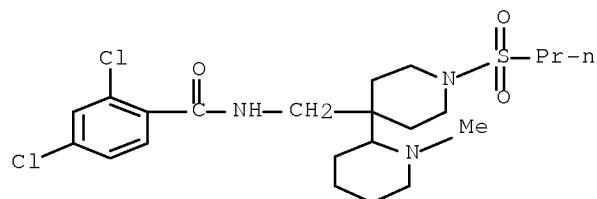
IT 936481-41-3P 936481-42-4P 936481-43-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine glycine transporter inhibitors)

RN 936481-41-3 HCPLUS

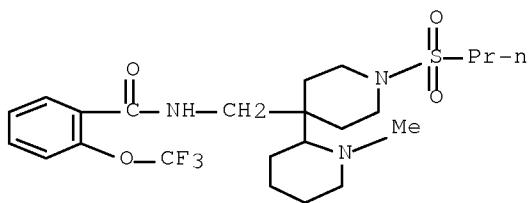
CN Benzamide, 2,4-dichloro-N-[(1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl)methyl]- (CA INDEX NAME)



RN 936481-42-4 HCPLUS

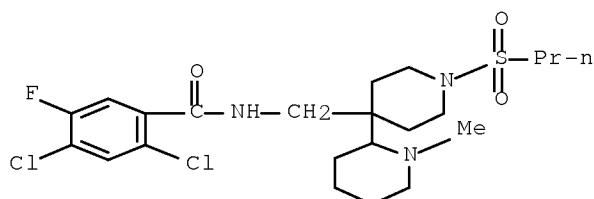
CN Benzamide, N-[(1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl)methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Serial#: 10/593,950



RN 936481-43-5 HCPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



L63 ANSWER 2 OF 15 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2007:410347 HCPLUS Full-text

DOCUMENT NUMBER: 146:421847

TITLE: Preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging

INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007041025	A2	20070412	WO 2006-US36989	20060925
WO 2007041025	A3	20070830		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

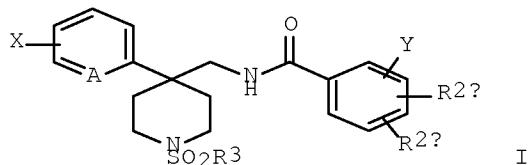
Serial#: 10/593,950

EP 1942733	A2	20080716	EP 2006-815187	20060925
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20090269278	A1	20091029	US 2008-991727	20080305
PRIORITY APPLN. INFO.: US 2005-721782P P 20050929				
WO 2006-US36989 W 20060925				

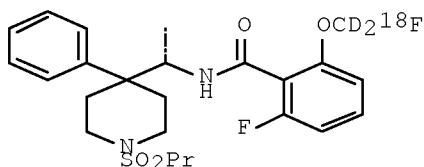
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:421847; MARPAT 146:421847

GI



I



II

AB Title compds. (I; A = N, CH; R2a, R2b = H, F, Cl, Br; R3 = alkyl, fluoroalkyl; R4 = H, alkyl; 1 of X, Y = 18F, O11CH3, OCD218F, the other = H), were prepared. Thus, title compound (II) was prepared by treatment of the corresponding phenol derivative with a product prepared from [18F]F- and CD2Br2 in the presence of Cs2CO3 in DMF at 100°.

IT 934200-19-8P 934200-20-1P 934200-21-2P

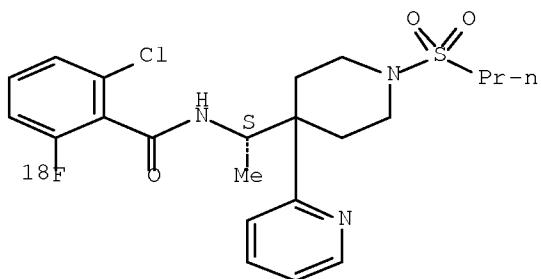
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-19-8 HCPLUS

CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

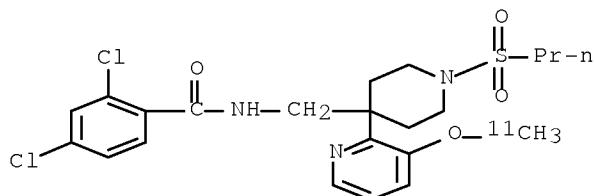
Absolute stereochemistry.



Serial#: 10/593,950

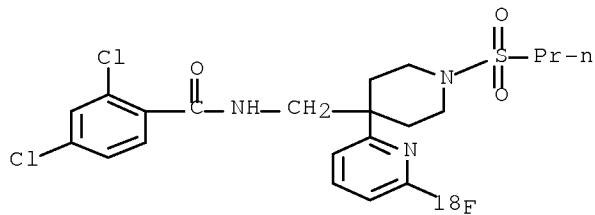
RN 934200-20-1 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(4-[3-(methoxy-11C)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 934200-21-2 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(4-[6-(fluoro-18F)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



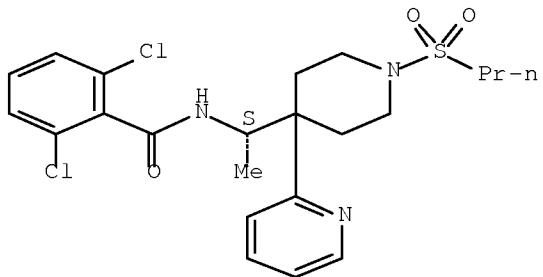
IT 934200-23-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1
glycine transporter inhibitors for diagnostic imaging)

RN 934200-23-4 HCPLUS

CN Benzamide, 2,6-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 866559-78-6P 866559-80-0P

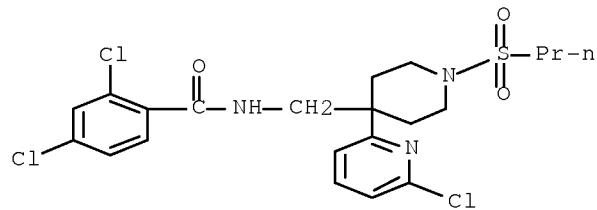
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1

Serial#: 10/593,950

glycine transporter inhibitors for diagnostic imaging)

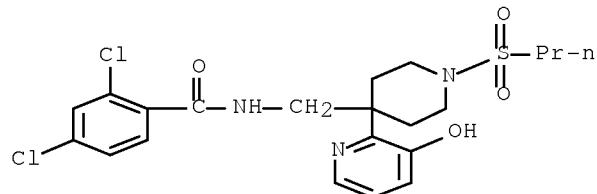
RN 866559-78-6 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-80-0 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



L63 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:631088 HCAPLUS Full-text

DOCUMENT NUMBER: 145:103566

TITLE: Preparation of piperidine and azetidine derivatives as GlyT1 inhibitors

INVENTOR(S): Blackaby, Wesley Peter; Fletcher, Stephen Robert; Jennings, Andrew; Lewis, Richard Thomas; Naylor, Elizabeth Mary; Street, Leslie Joseph; Thomson, Joanne

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067529	A1	20060629	WO 2005-GB50258	20051221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

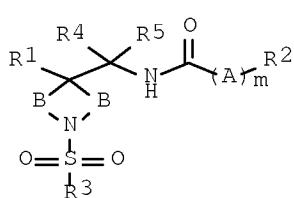
Serial#: 10/593,950

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
AU 2005317846 A1 20060629 AU 2005-317846 20051221
CA 2592345 A1 20060629 CA 2005-2592345 20051221
EP 1831201 A1 20070912 EP 2005-821636 20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
CN 101084215 A 20071205 CN 2005-80044155 20051221
JP 2008524316 T 20080710 JP 2007-547679 20051221
US 20080090796 A1 20080417 US 2007-792111 20070530
US 7655644 B2 20100202
IN 2007DN04679 A 20070817 IN 2007-DN4679 20070619
PRIORITY APPLN. INFO.: GB 2004-27987 A 20041221
GB 2004-27989 A 20041221
WO 2005-GB50258 W 20051221

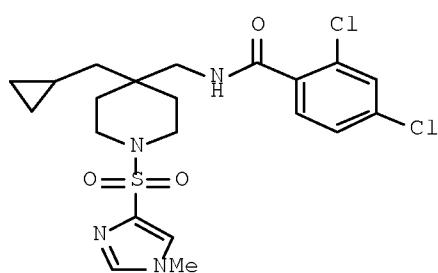
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:103566; MARPAT 145:103566

GI



I



II

AB Title compds. represented by the formula I [wherein A = O or NR10; B = (CH₂)_n; n = 1 or 2; R1 = (CH₂)_p-R1a; p = 0-6; R1a = (halo)alkyl, (un)substituted Ph, piperidinyl, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = (un)substituted heterocyclyl; R4, R5 = H, (halo)alkyl, hydroxyalkyl or R4R5 = cyclyl; R10 = H, (cyclo)alkyl, benzyl, etc.; m = 0 or 1; and pharmaceutically acceptable salts or enantiomers and diastereomers thereof] were prepared as GlyT1 (glycine transporters) inhibitors (no data). For example, II was provided in a multi-step synthesis starting from tert-Bu 4-cyanopiperidine-1-carboxylate. I and their pharmaceutical compns. are useful as GlyT1 inhibitors for the treatment of schizophrenia (no data).

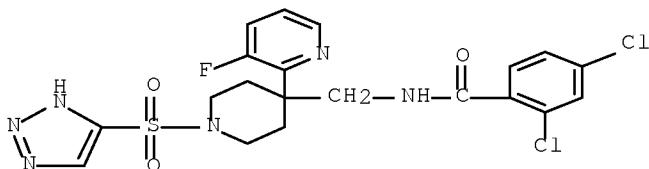
IT 895132-42-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidine and azetidine derivs. as GlyT1 inhibitors for treatment of schizophrenia)

RN 895132-42-0 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-ylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



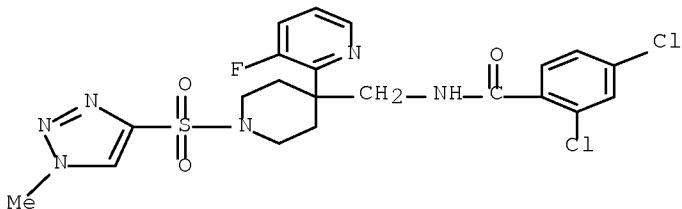
IT 895132-47-5P, 2,4-Dichloro-N-[1-[4-(3-fluoropyridin-2-yl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]piperidin-4-yl]methyl]benzamide
895132-61-3P 895132-62-4P 895132-63-5P
895132-64-6P 895132-65-7P 895132-66-8P
895132-67-9P 895132-68-0P 895132-69-1P
895132-70-4P 895132-71-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine and azetidine derivs. as GlyT1 inhibitors for treatment of schizophrenia)

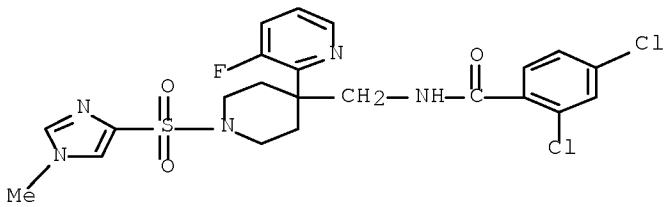
RN 895132-47-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-61-3 HCAPLUS

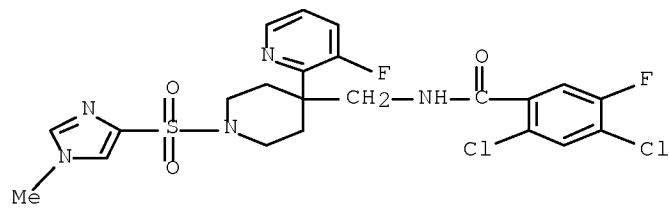
CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-62-4 HCAPLUS

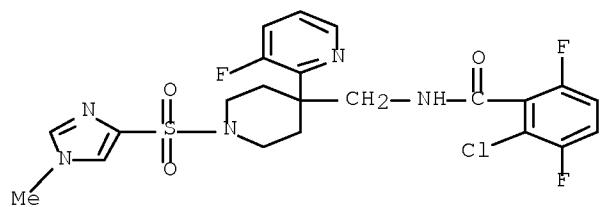
CN Benzamide, 2,4-dichloro-5-fluoro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



RN 895132-63-5 HCPLUS

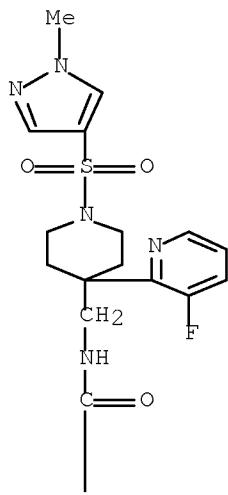
CN Benzamide, 2-chloro-3,6-difluoro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-64-6 HCPLUS

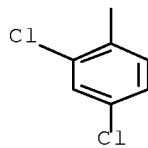
CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A



Serial#: 10/593,950

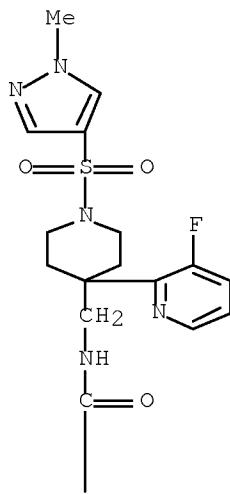
PAGE 2-A



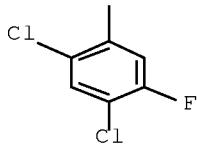
RN 895132-65-7 HCPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

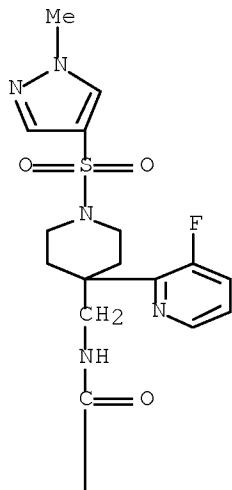


RN 895132-66-8 HCPLUS

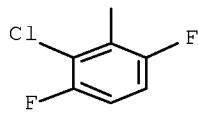
CN Benzamide, 2-chloro-3,6-difluoro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950

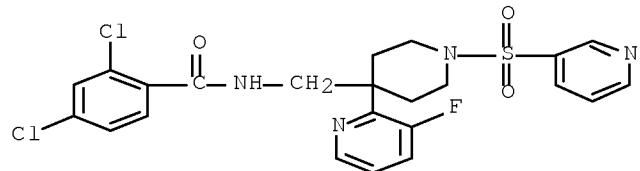
PAGE 1-A



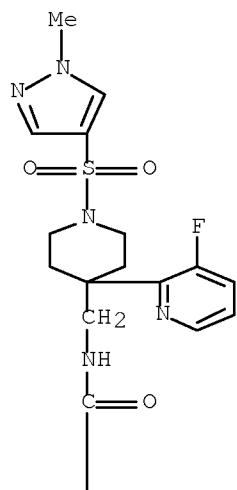
PAGE 2-A



RN 895132-67-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(3-pyridinylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

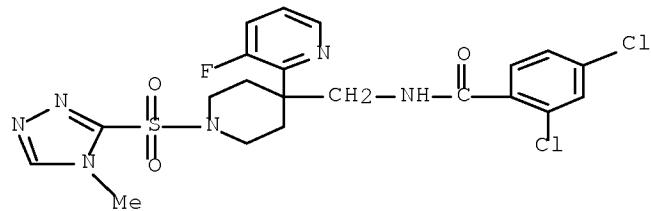


RN 895132-68-0 HCAPLUS
CN Cyclohexanecarboxamide, N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-69-1 HCPLUS

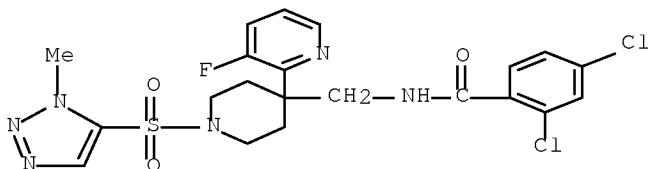
CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(4-methyl-4H-1,2,4-triazol-3-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-70-4 HCPLUS

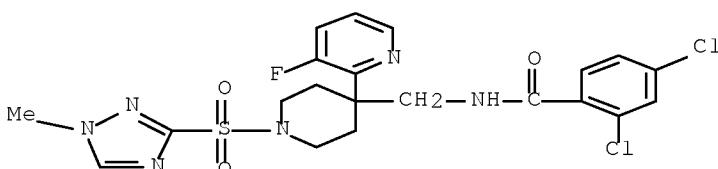
CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-5-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



RN 895132-71-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[(4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,4-triazol-3-yl)sulfonyl]-4-piperidinyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2005:1103490 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:386922

TITLE: Preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for the treatment of psychiatric disorders

INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David; Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094514	A2	20051013	WO 2005-US9810	20050323
WO 2005094514	A3	20060420		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

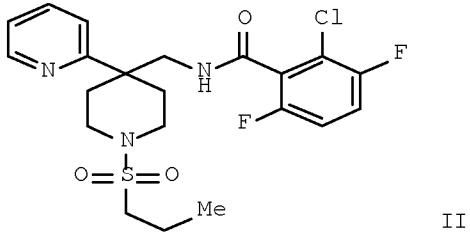
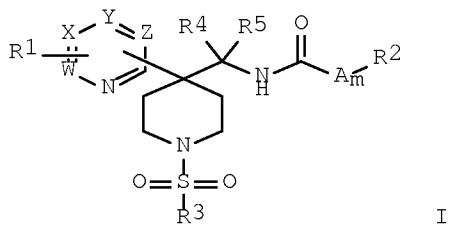
Serial#: 10/593,950

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG
AU 2005228133 A1 20051013 AU 2005-228133 20050323
CA 2560256 A1 20051013 CA 2005-2560256 20050323
EP 1729772 A2 20061213 EP 2005-726105 20050323
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV
CN 1933836 A 20070321 CN 2005-80009593 20050323
JP 2007530576 T 20071101 JP 2007-505167 20050323
IN 2006CN03155 A 20070608 IN 2006-CN3155 20060831
US 20070254880 A1 20071101 US 2007-593950 20070510
PRIORITY APPLN. INFO.: US 2004-555925P P 20040324
WO 2005-US9810 W 20050323

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:386922; MARPAT 143:386922

GI



AB Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A = O, (un)substituted N; m = 0-1] are prepared. For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO2Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neuroleptic and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.

IT 866559-77-5P

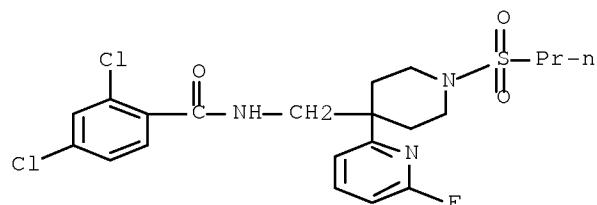
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

Serial#: 10/593,950

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heteroaryl-substituted piperidine glycine transporter
inhibitors for treatment of psychiatric disorders)

RN 866559-77-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[(4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



IT	866558-67-0P	866558-68-1P	866558-69-2P
	866558-70-5P	866558-71-6P	866558-72-7P
	866558-73-8P	866558-74-9P	866558-75-0P
	866558-76-1P	866558-77-2P	866558-78-3P
	866558-79-4P	866558-80-7P	866558-81-8P
	866558-82-9P	866558-83-0P	866558-84-1P
	866558-85-2P	866558-86-3P	866558-87-4P
	866558-88-5P	866558-90-9P	866558-91-0P
	866558-92-1P	866558-97-6P	866558-98-7P
	866558-99-8P	866559-00-4P	866559-01-5P
	866559-02-6P	866559-03-7P	866559-04-8P
	866559-05-9P	866559-06-0P	866559-07-1P
	866559-08-2P	866559-09-3P	866559-10-6P
	866559-11-7P	866559-12-8P	866559-13-9P
	866559-14-0P	866559-15-1P	866559-16-2P
	866559-17-3P	866559-18-4P	866559-19-5P
	866559-20-8P	866559-21-9P	866559-22-0P
	866559-23-1P	866559-24-2P	866559-25-3P
	866559-26-4P	866559-27-5P	866559-28-6P
	866559-33-3P	866559-34-4P	866559-35-5P
	866559-36-6P	866559-37-7P	866559-38-8P
	866559-39-9P	866559-40-2P	866559-41-3P
	866559-42-4P	866559-43-5P	866559-44-6P
	866559-45-7P	866559-46-8P	866559-47-9P
	866559-48-0P	866559-49-1P	866559-50-4P
	866559-51-5P	866559-52-6P	866559-53-7P
	866559-55-9P	866559-56-0P	866559-57-1P
	866559-58-2P	866559-59-3P	866559-60-6P
	866559-61-7P	866559-62-8P	866559-64-0P
	866559-65-1P	866559-66-2P	866559-67-3P
	866559-68-4P	866559-69-5P	866559-70-8P
	866559-71-9P	866559-72-0P	866559-73-1P
	866559-74-2P	866559-75-3P	866559-76-4P
	866559-78-6P	866559-79-7P	866559-80-0P
	866559-81-1P		

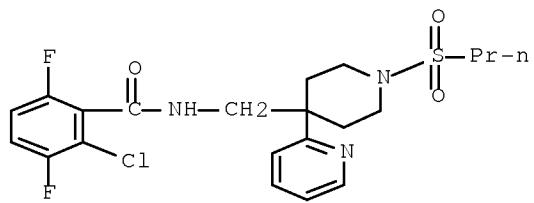
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter
inhibitors for treatment of psychiatric disorders)

RN 866558-67-0 HCAPLUS

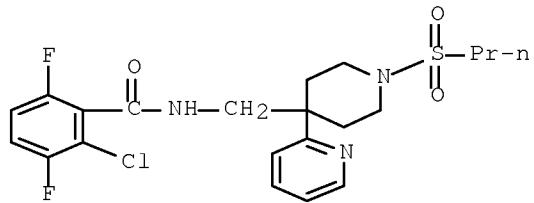
Serial#: 10/593,950

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-68-1 HCPLUS

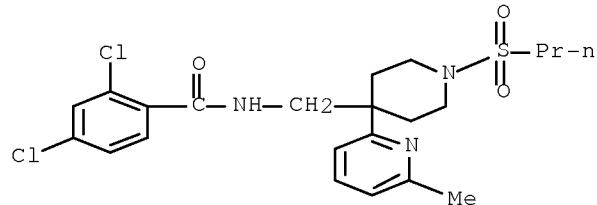
CN Benzamide, 2-chloro-3,6-difluoro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 866558-69-2 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

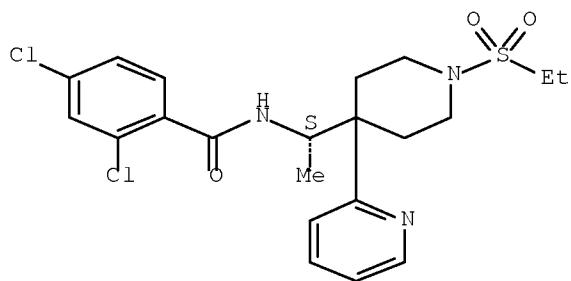


RN 866558-70-5 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

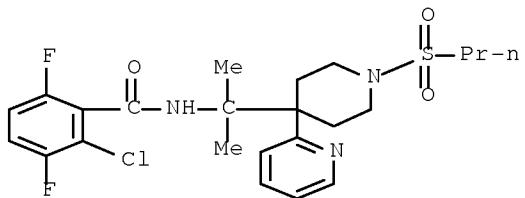
Absolute stereochemistry.

Serial#: 10/593,950



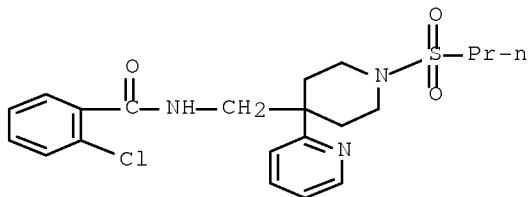
RN 866558-71-6 HCPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



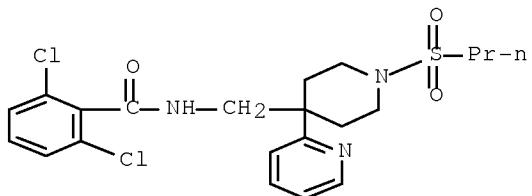
RN 866558-72-7 HCPLUS

CN Benzamide, 2-chloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl- (CA INDEX NAME)



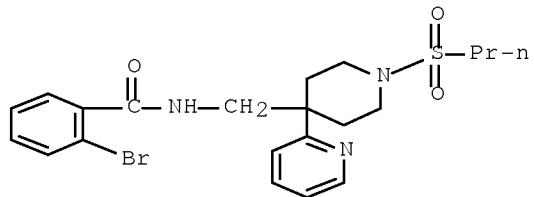
RN 866558-73-8 HCPLUS

CN Benzamide, 2,6-dichloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl- (CA INDEX NAME)

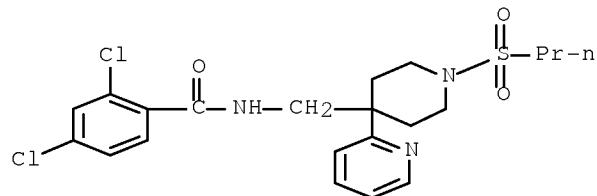


Serial#: 10/593,950

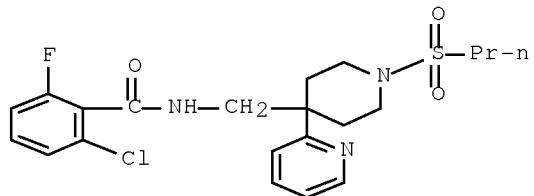
RN 866558-74-9 HCPLUS
CN Benzamide, 2-bromo-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-75-0 HCPLUS
CN Benzamide, 2,4-dichloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

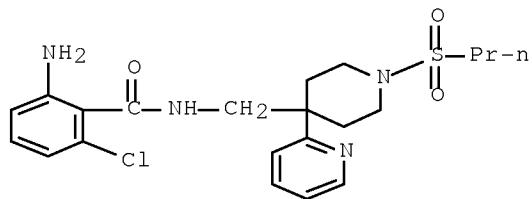


RN 866558-76-1 HCPLUS
CN Benzamide, 2-chloro-6-fluoro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

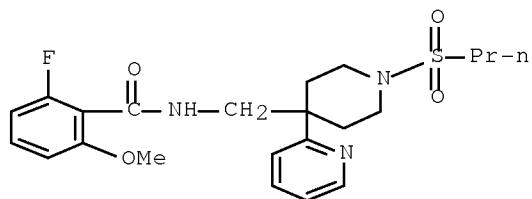


RN 866558-77-2 HCPLUS
CN Benzamide, 2-amino-6-chloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

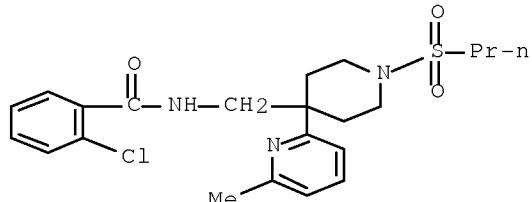
Serial#: 10/593,950



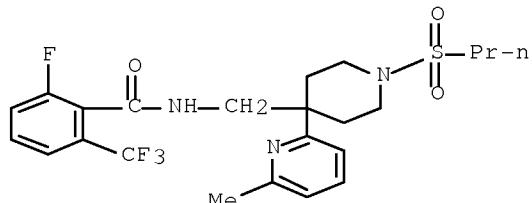
RN 866558-78-3 HCPLUS
CN Benzamide, 2-fluoro-6-methoxy-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-79-4 HCPLUS
CN Benzamide, 2-chloro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



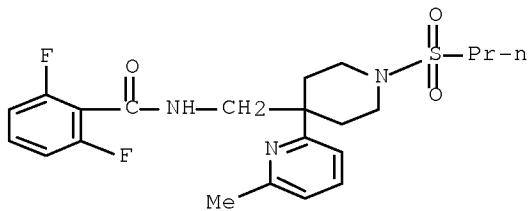
RN 866558-80-7 HCPLUS
CN Benzamide, 2-fluoro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-6-(trifluoromethyl)- (CA INDEX NAME)



Serial#: 10/593,950

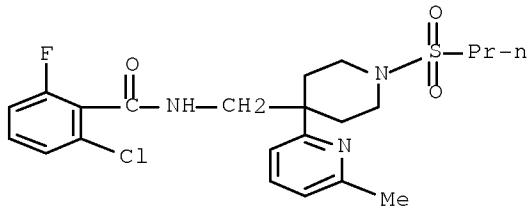
RN 866558-81-8 HCPLUS

CN Benzamide, 2,6-difluoro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



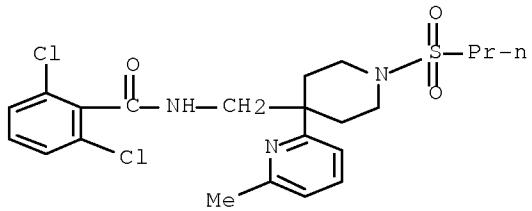
RN 866558-82-9 HCPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-83-0 HCPLUS

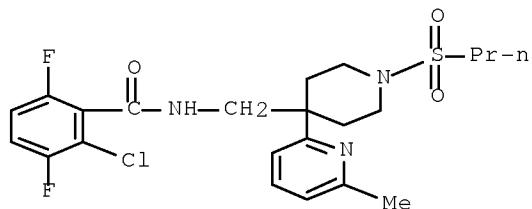
CN Benzamide, 2,6-dichloro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-84-1 HCPLUS

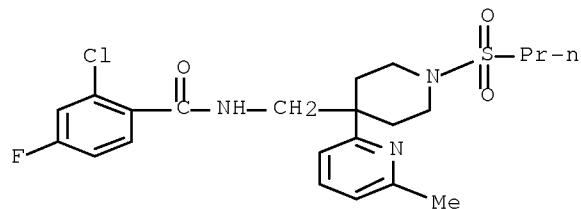
CN Benzamide, 2-chloro-3,6-difluoro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



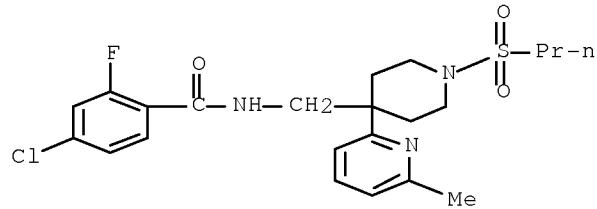
RN 866558-85-2 HCPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



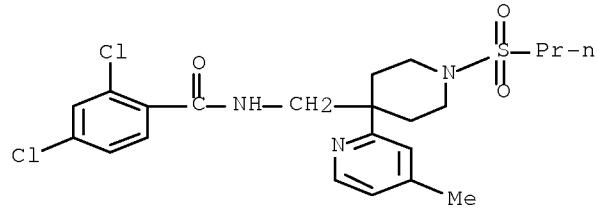
RN 866558-86-3 HCPLUS

CN Benzamide, 4-chloro-2-fluoro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-87-4 HCPLUS

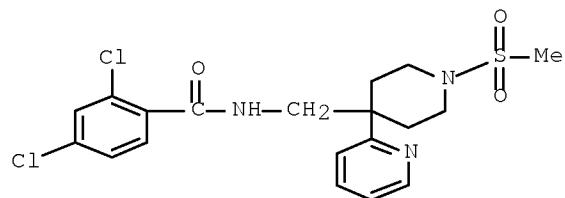
CN Benzamide, 2,4-dichloro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



Serial#: 10/593,950

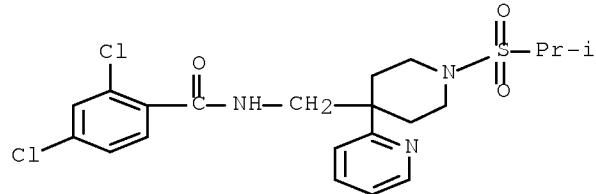
RN 866558-88-5 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-(methylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



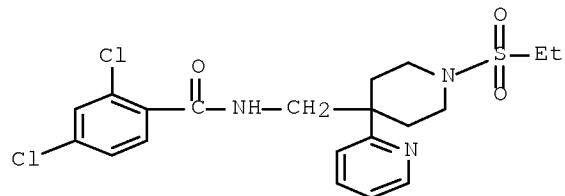
RN 866558-90-9 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(1-methylethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-91-0 HCPLUS

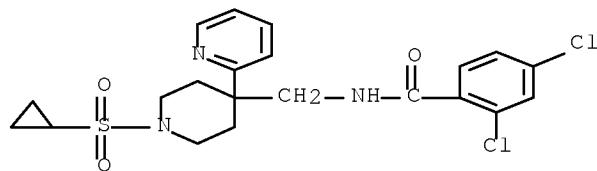
CN Benzamide, 2,4-dichloro-N-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-92-1 HCPLUS

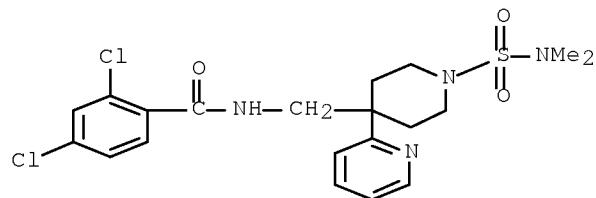
CN Benzamide, 2,4-dichloro-N-[1-(cyclopropylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



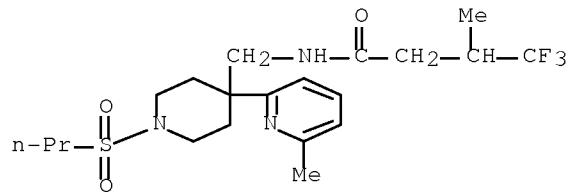
RN 866558-97-6 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(dimethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-98-7 HCPLUS

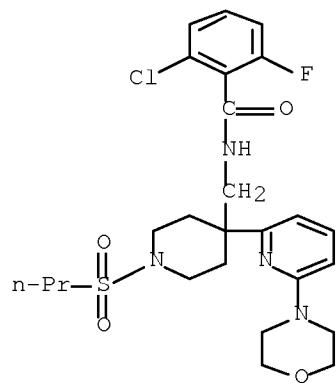
CN Butanamide, 4,4,4-trifluoro-3-methyl-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-99-8 HCPLUS

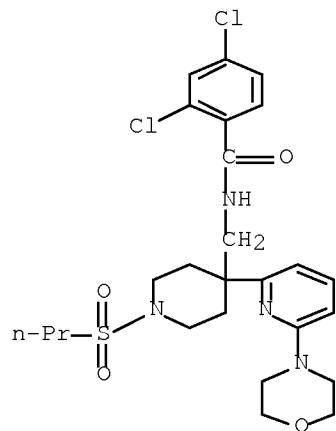
CN Benzamide, 2-chloro-6-fluoro-N-[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



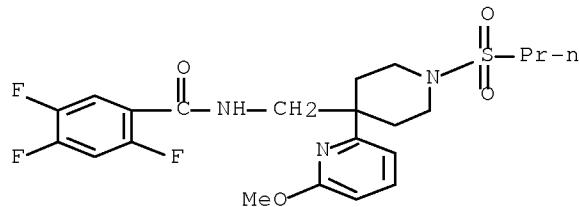
RN 866559-00-4 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-01-5 HCAPLUS

CN Benzamide, 2,4,5-trifluoro-N-[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

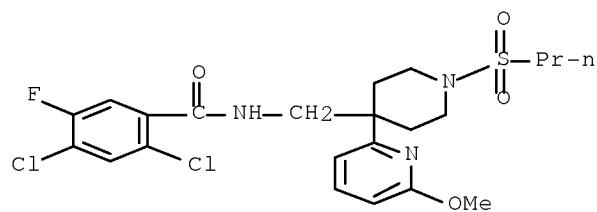


RN 866559-02-6 HCAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[4-(6-methoxy-2-pyridinyl)-1-

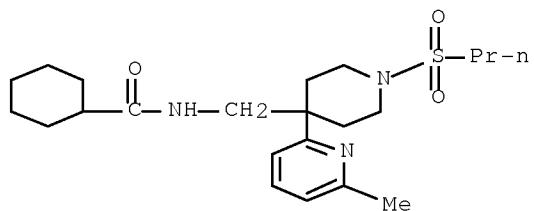
Serial#: 10/593,950

(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



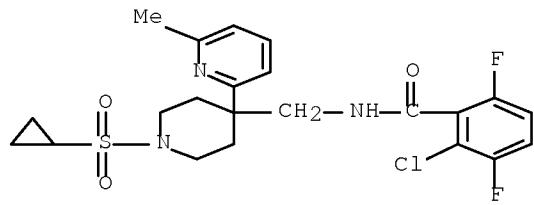
RN 866559-03-7 HCPLUS

CN Cyclohexanecarboxamide, N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



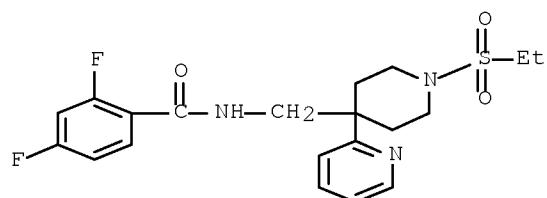
RN 866559-04-8 HCPLUS

CN Benzamide, 2-chloro-N-[1-(cyclopropylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)



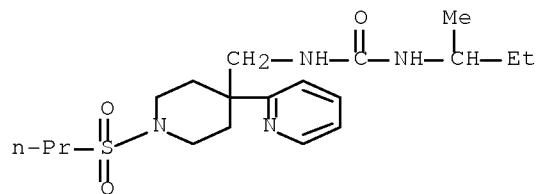
RN 866559-05-9 HCPLUS

CN Benzamide, N-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-difluoro- (CA INDEX NAME)

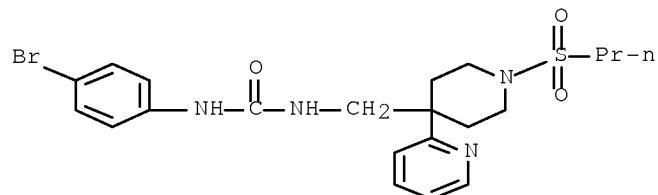


Serial#: 10/593,950

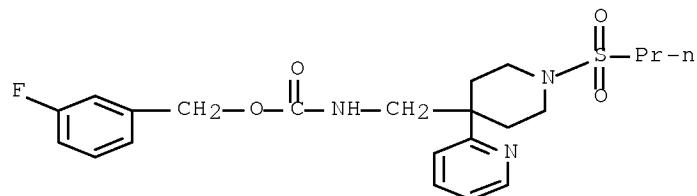
RN 866559-06-0 HCPLUS
CN Urea, N-(1-methylpropyl)-N'-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-07-1 HCPLUS
CN Urea, N-(4-bromophenyl)-N'-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

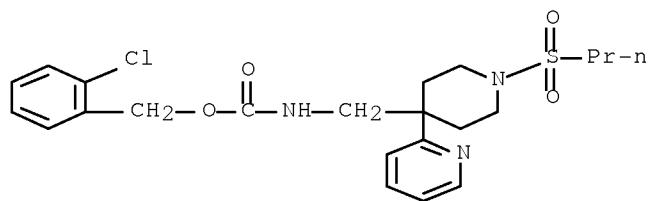


RN 866559-08-2 HCPLUS
CN Carbamic acid, [[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, (3-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



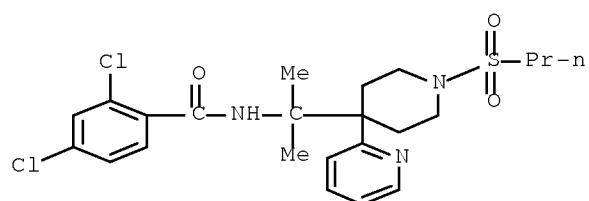
RN 866559-09-3 HCPLUS
CN Carbamic acid, [[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, (2-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

Serial#: 10/593,950



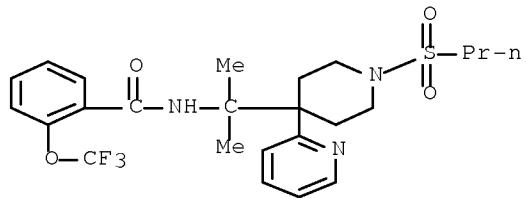
RN 866559-10-6 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



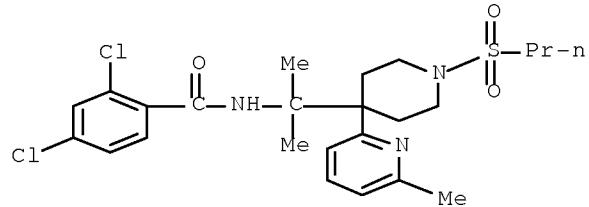
RN 866559-11-7 HCPLUS

CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 866559-12-8 HCPLUS

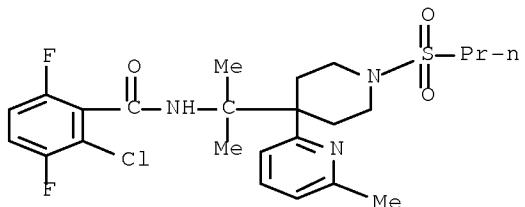
CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



Serial#: 10/593,950

RN 866559-13-9 HCPLUS

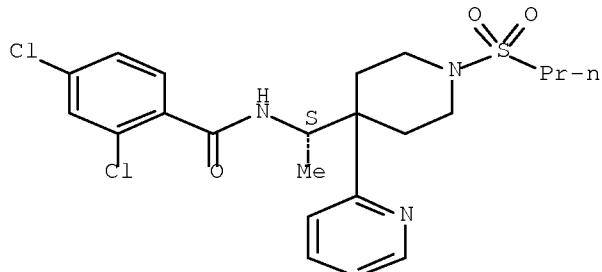
CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



RN 866559-14-0 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

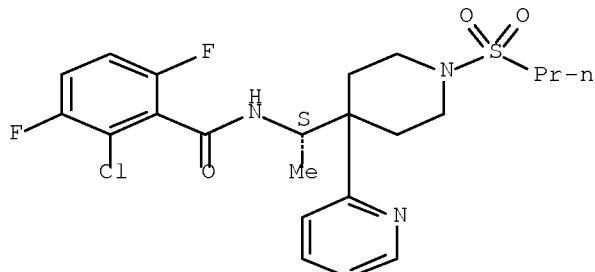
Absolute stereochemistry.



RN 866559-15-1 HCPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

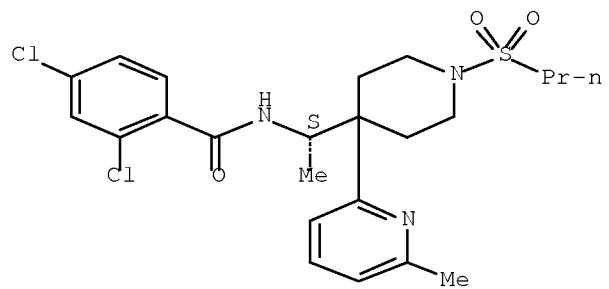


RN 866559-16-2 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

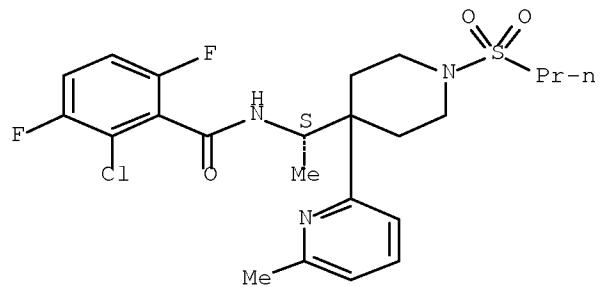
Serial#: 10/593,950



RN 866559-17-3 HCAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-4-(propylsulfonyl)piperidinyl]ethyl]- (CA INDEX NAME)

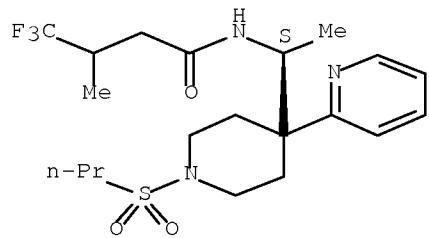
Absolute stereochemistry.



RN 866559-18-4 HCAPLUS

CN Butanamide, 4,4,4-trifluoro-3-methyl-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

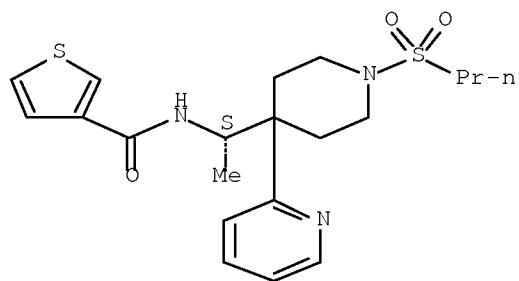


RN 866559-19-5 HCAPLUS

CN 3-Thiophenecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

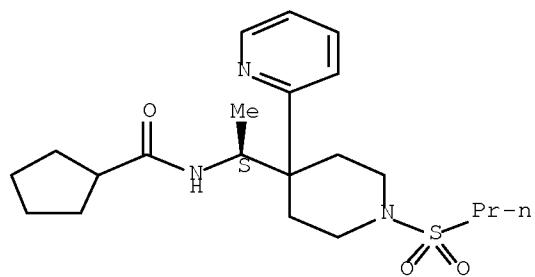
Serial#: 10/593,950



RN 866559-20-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

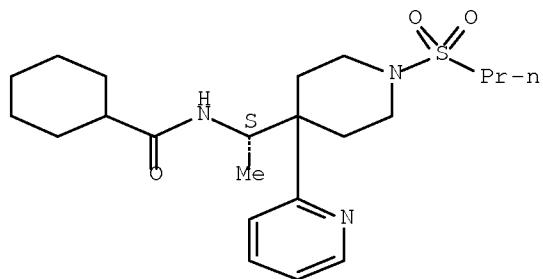
Absolute stereochemistry.



RN 866559-21-9 HCAPLUS

CN Cyclohexanecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

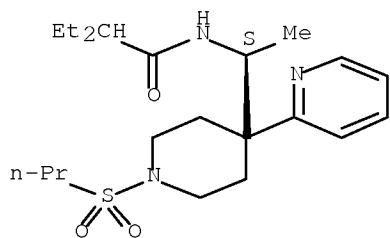


RN 866559-22-0 HCAPLUS

CN Butanamide, 2-ethyl-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

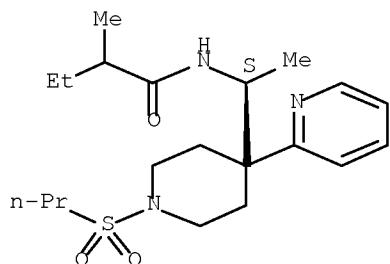
Serial#: 10/593,950



RN 866559-23-1 HCAPLUS

CN Butanamide, 2-methyl-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

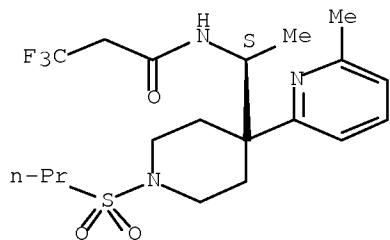
Absolute stereochemistry.



RN 866559-24-2 HCAPLUS

CN Propanamide, 3,3,3-trifluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

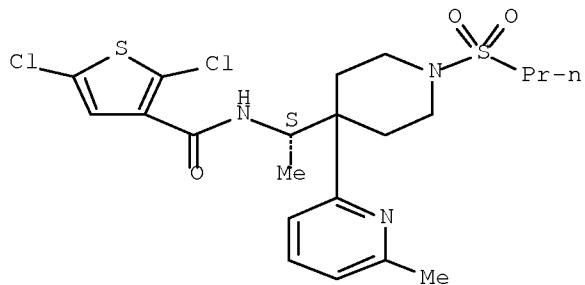


RN 866559-25-3 HCAPLUS

CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

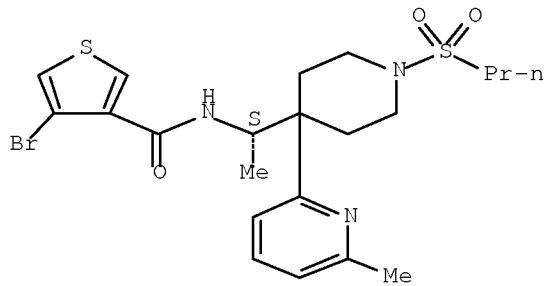
Serial#: 10/593,950



RN 866559-26-4 HCPLUS

CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

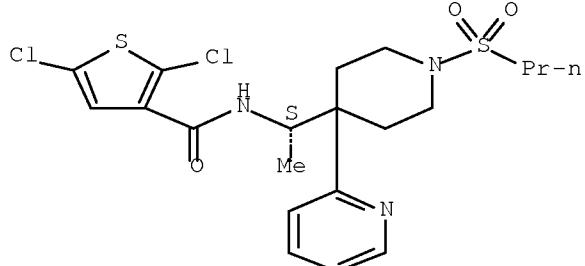
Absolute stereochemistry.



RN 866559-27-5 HCPLUS

CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

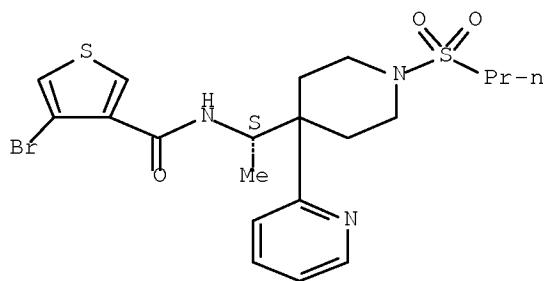


RN 866559-28-6 HCPLUS

CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

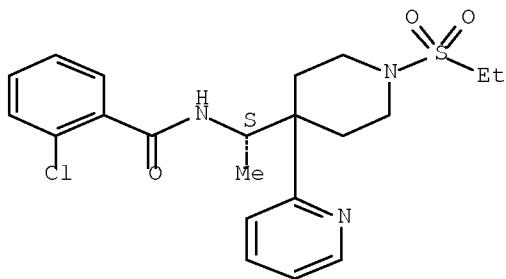
Serial#: 10/593,950



RN 866559-33-3 HCAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

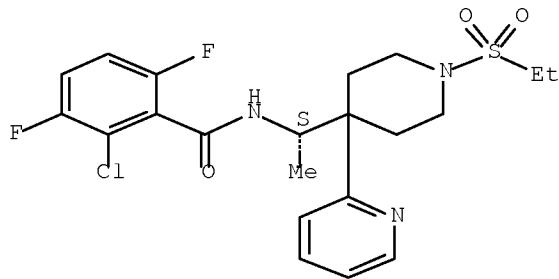
Absolute stereochemistry.



RN 866559-34-4 HCAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

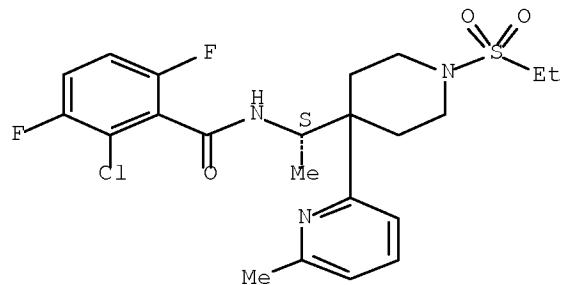


RN 866559-35-5 HCAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

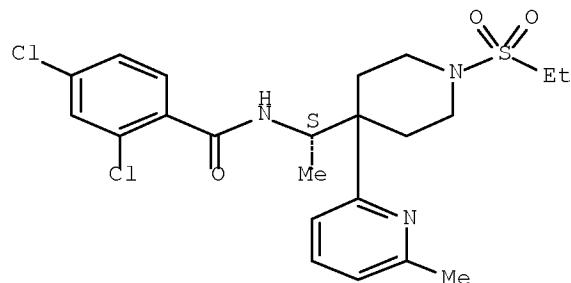
Serial#: 10/593,950



RN 866559-36-6 HCAPLUS

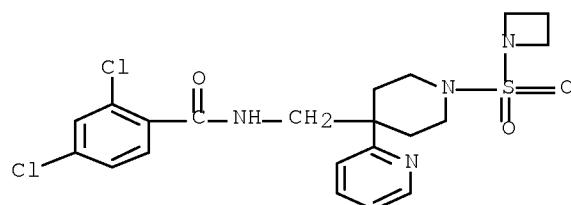
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866559-37-7 HCAPLUS

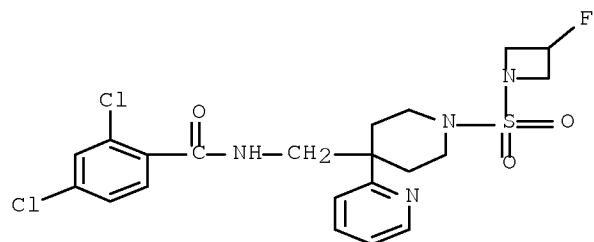
CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



RN 866559-38-8 HCAPLUS

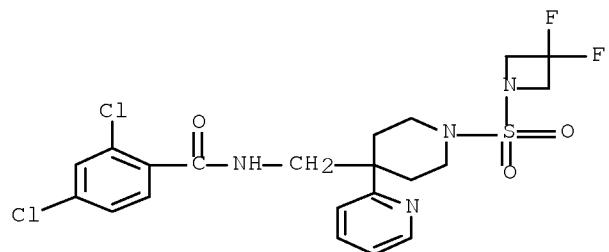
CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoro-1-azetidinyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



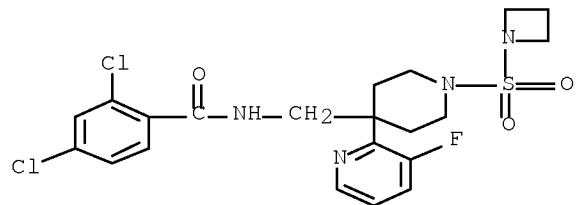
RN 866559-39-9 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(3,3-difluoro-1-azetidinyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



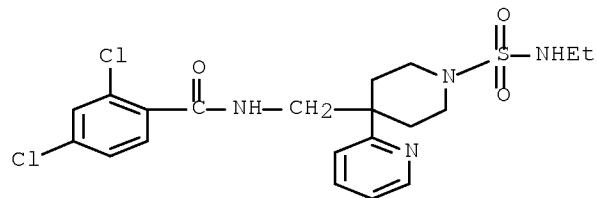
RN 866559-40-2 HCPLUS

CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



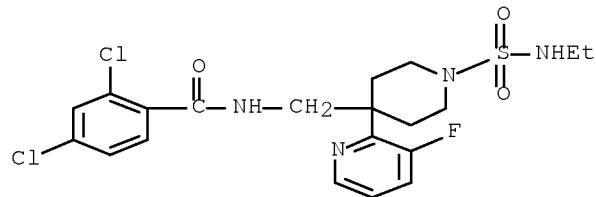
RN 866559-41-3 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

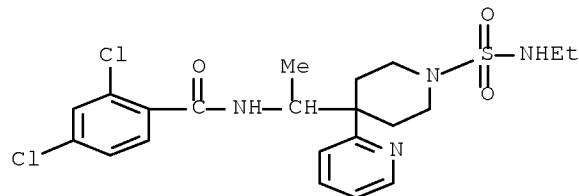


Serial#: 10/593,950

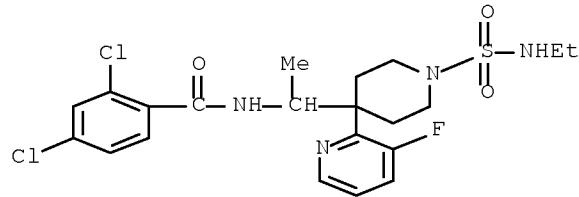
RN 866559-42-4 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-43-5 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

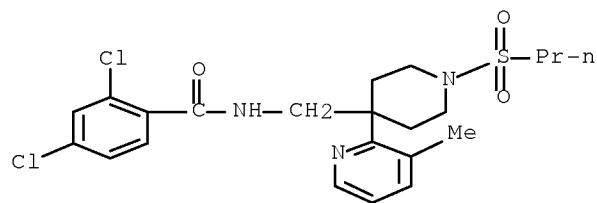


RN 866559-44-6 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

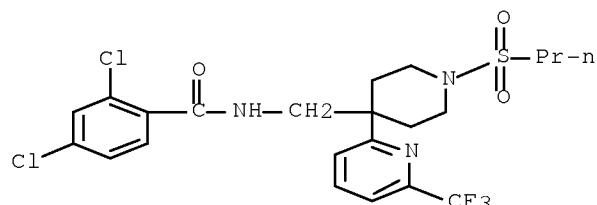


RN 866559-45-7 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

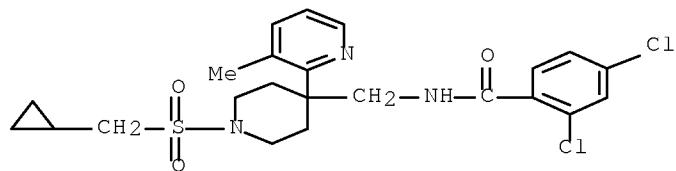
Serial#: 10/593,950



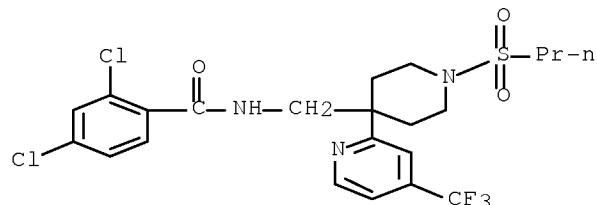
RN 866559-46-8 HCPLUS
CN Benzamide, 2,4-dichloro-N-[(1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-47-9 HCPLUS
CN Benzamide, 2,4-dichloro-N-[(1-[(cyclopropylmethyl)sulfonyl]-4-(3-methyl-2-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



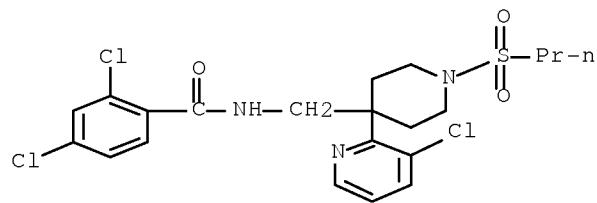
RN 866559-48-0 HCPLUS
CN Benzamide, 2,4-dichloro-N-[(1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-49-1 HCPLUS

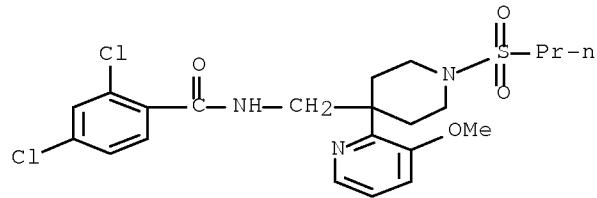
Serial#: 10/593,950

CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



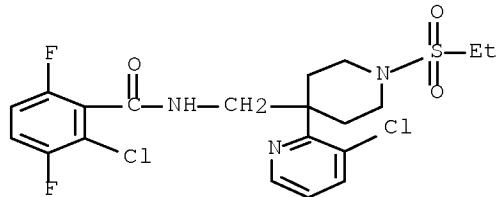
RN 866559-50-4 HCPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



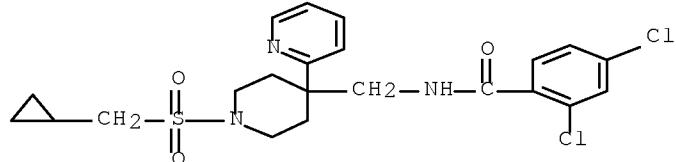
RN 866559-51-5 HCPLUS

CN Benzamide, 2-chloro-N-[[4-(3-chloro-2-pyridinyl)-1-(ethylsulfonyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)



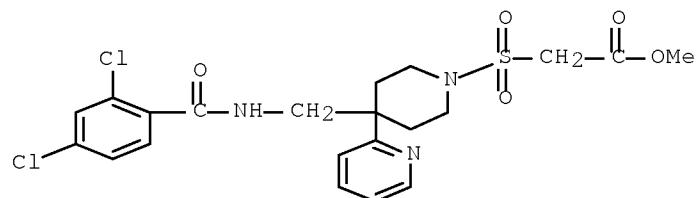
RN 866559-52-6 HCPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

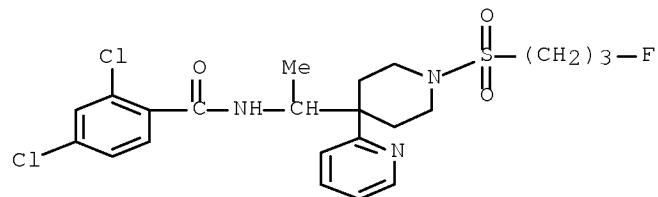


Serial#: 10/593,950

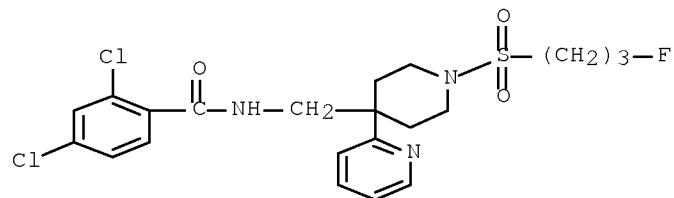
RN 866559-53-7 HCAPLUS
CN Acetic acid, 2-[4-[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinylsulfonyl-, methyl ester (CA INDEX NAME)



RN 866559-55-9 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

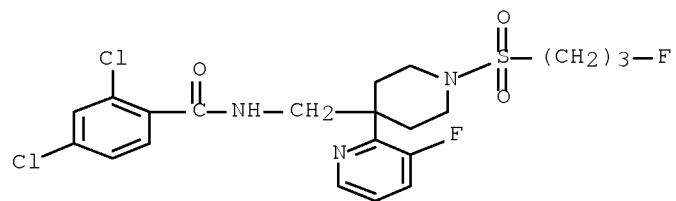


RN 866559-56-0 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



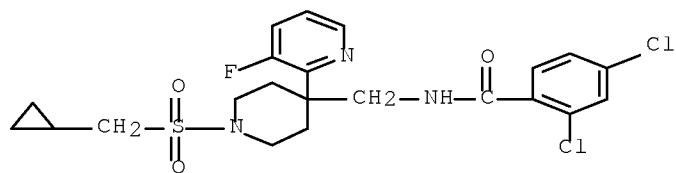
RN 866559-57-1 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[(3-fluoropropyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



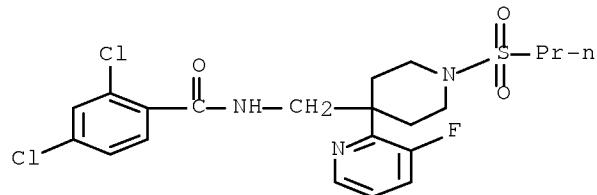
RN 866559-58-2 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



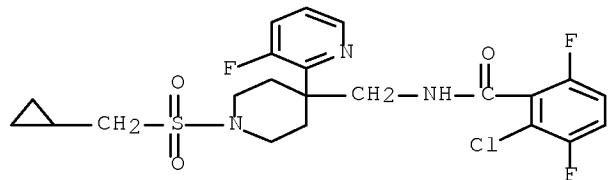
RN 866559-59-3 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-60-6 HCPLUS

CN Benzamide, 2-chloro-N-[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

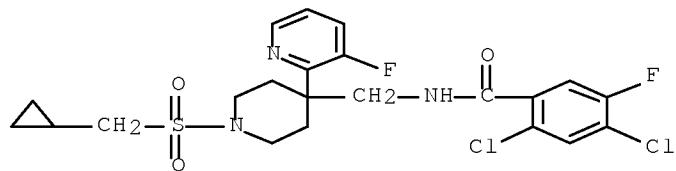


RN 866559-61-7 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-

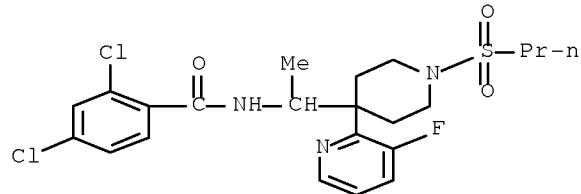
Serial#: 10/593,950

pyridinyl)-4-piperidinyl]methyl]-5-fluoro- (CA INDEX NAME)



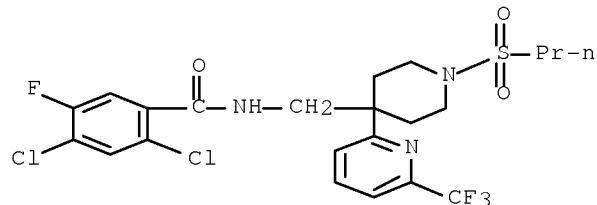
RN 866559-62-8 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



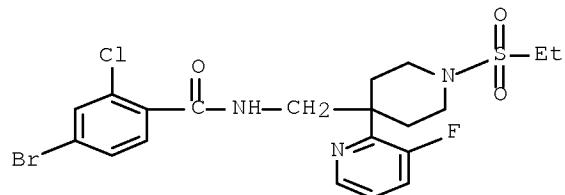
RN 866559-64-0 HCAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



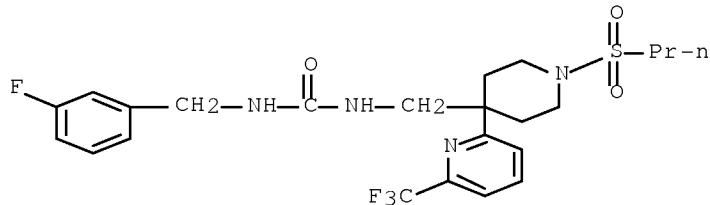
RN 866559-65-1 HCAPLUS

CN Benzamide, 4-bromo-2-chloro-N-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

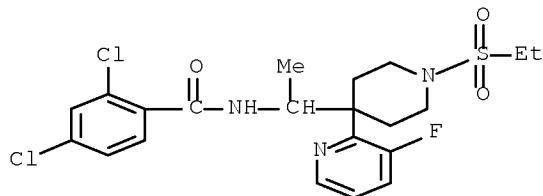


Serial#: 10/593,950

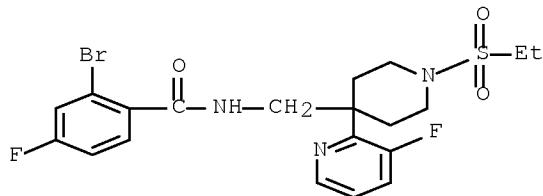
RN 866559-66-2 HCAPLUS
CN Urea, N-[(3-fluorophenyl)methyl]-N'-[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-67-3 HCAPLUS
CN Benzamide, 2,4-dichloro-N-[1-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

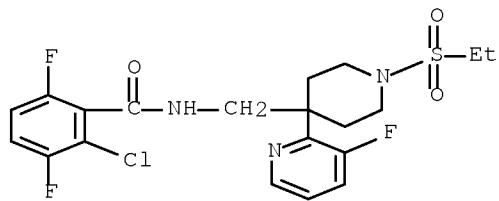


RN 866559-68-4 HCAPLUS
CN Benzamide, 2-bromo-N-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-4-fluoro- (CA INDEX NAME)



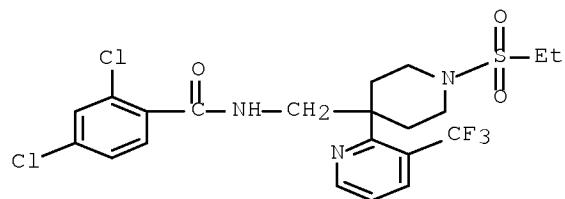
RN 866559-69-5 HCAPLUS
CN Benzamide, 2-chloro-N-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

Serial#: 10/593,950



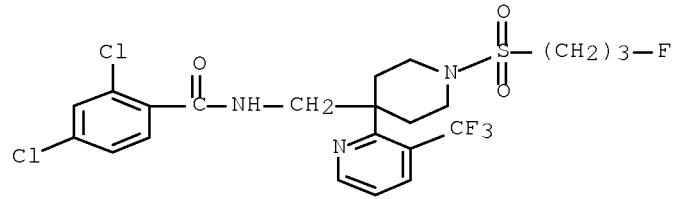
RN 866559-70-8 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(1-(ethylsulfonyl)-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl)methyl]- (CA INDEX NAME)



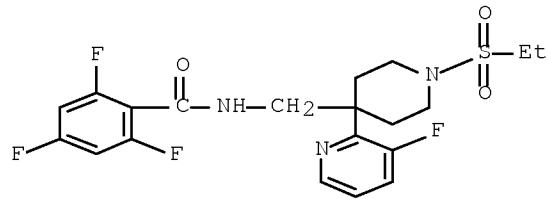
RN 866559-71-9 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-72-0 HCPLUS

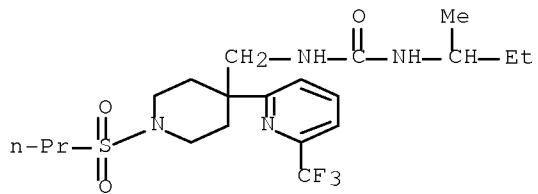
CN Benzamide, N-[(1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl)methyl]-2,4,6-trifluoro- (CA INDEX NAME)



Serial#: 10/593,950

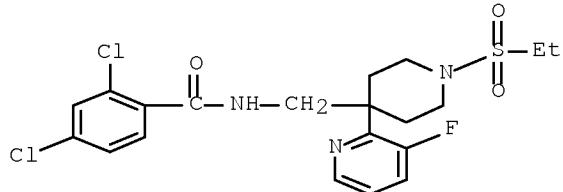
RN 866559-73-1 HCPLUS

CN Urea, N-(1-methylpropyl)-N'-(1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl)methyl- (CA INDEX NAME)



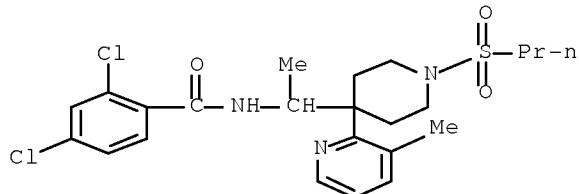
RN 866559-74-2 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl- (CA INDEX NAME)



RN 866559-75-3 HCPLUS

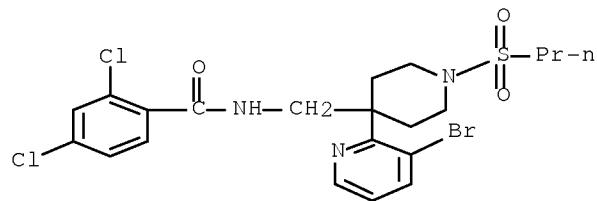
CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl- (CA INDEX NAME)



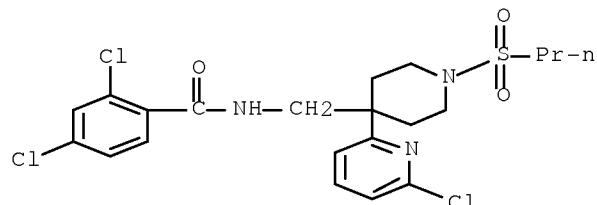
RN 866559-76-4 HCPLUS

CN Benzamide, N-[4-(3-bromo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)

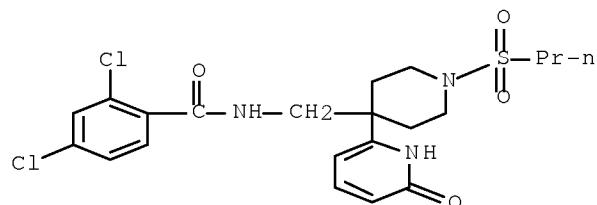
Serial#: 10/593,950



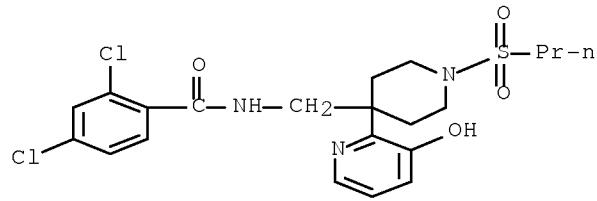
RN 866559-78-6 HCPLUS
CN Benzamide, 2,4-dichloro-N-[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-79-7 HCPLUS
CN Benzamide, 2,4-dichloro-N-[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-80-0 HCPLUS
CN Benzamide, 2,4-dichloro-N-[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

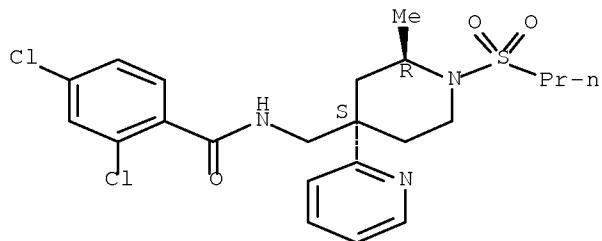


Serial#: 10/593,950

RN 866559-81-1 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



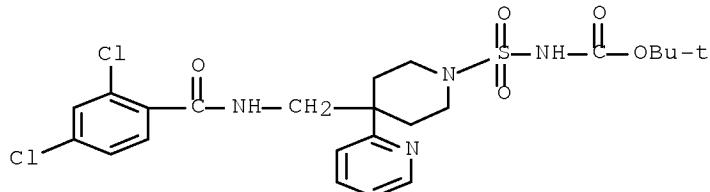
IT 866559-91-3P 866559-92-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

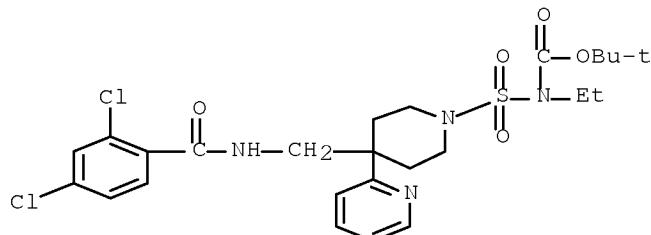
RN 866559-91-3 HCPLUS

CN Carbamic acid, [[4-[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 866559-92-4 HCPLUS

CN Carbamic acid, [[4-[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT:

8

THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

Serial#: 10/593,950

L63 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:420053 HCAPLUS Full-text
DOCUMENT NUMBER: 150:554933
TITLE: Optimisation of a series of potent, selective and orally bioavailable GlyT1 inhibitors
AUTHOR(S): Thomson, Joanne L.; Blackaby, Wesley P.; Jennings, Andrew S. R.; Goodacre, Simon C.; Pike, Andrew; Thomas, Steve; Brown, Terry A.; Smith, Alison; Pillai, Gopalan; Street, Leslie J.; Lewis, Richard T.
CORPORATE SOURCE: Department of Medicinal Chemistry, Neuroscience Research Centre, Merck Sharp and Dohme, Harlow, Essex, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(8), 2235-2239
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:554933

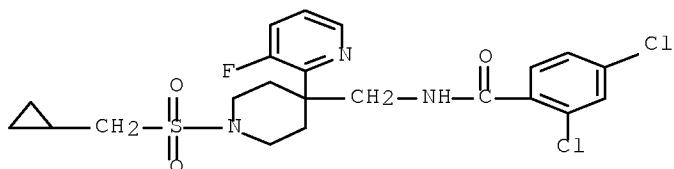
AB A series of heterocyclic sulfonamides have been developed which are potent and selective inhibitors of hGlyT1. SAR studies to optimize the *in vitro* and *in vivo* properties are described. Optimization of the central scaffold resulted in cyclohexane sulfones 28 and 29, which have good PK properties and show promise for further development.

IT 866559-58-2P 866559-59-3P 895132-47-5P
895132-61-3P 895132-64-6P 895132-67-9P
1156439-27-8P 1156439-28-9P 1156439-29-0P
1156439-32-5P 1156439-34-7P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)

RN 866559-58-2 HCAPLUS

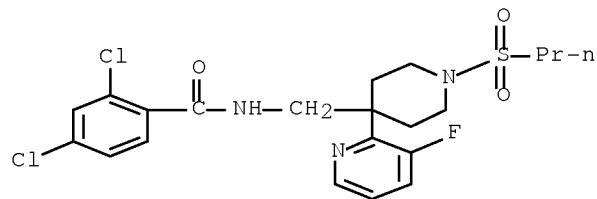
CN Benzamide, 2,4-dichloro-N-[(1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-59-3 HCAPLUS

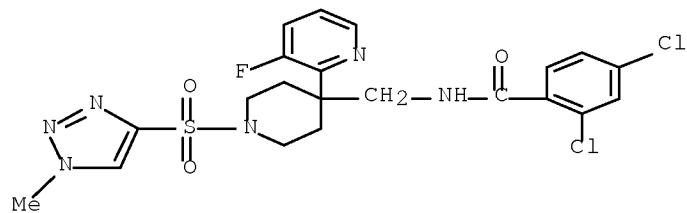
CN Benzamide, 2,4-dichloro-N-[(4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)

Serial#: 10/593,950



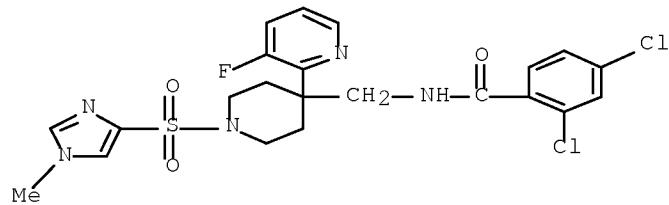
RN 895132-47-5 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-61-3 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

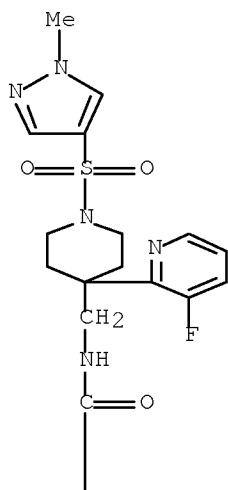


RN 895132-64-6 HCPLUS

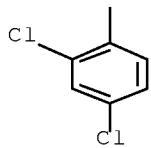
CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950

PAGE 1-A

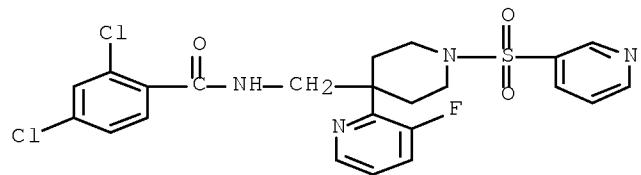


PAGE 2-A



RN 895132-67-9 HCPLUS

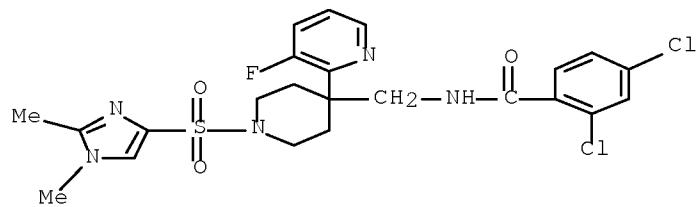
CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-(3-pyridinylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1156439-27-8 HCPLUS

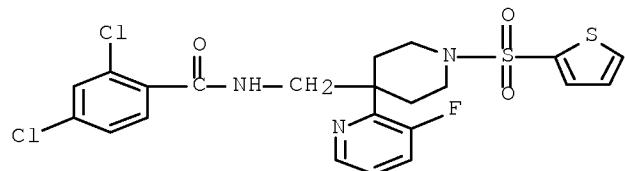
CN Benzamide, 2,4-dichloro-N-[1-[(1,2-dimethyl-1H-imidazol-4-yl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



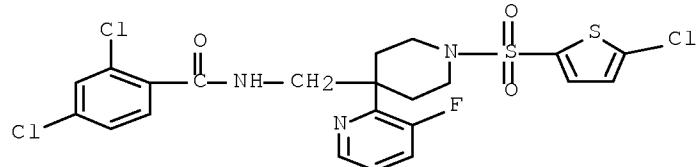
RN 1156439-28-9 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-(2-thienylsulfonyl)-4-piperidinylmethyl]- (CA INDEX NAME)



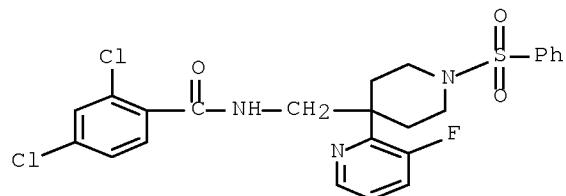
RN 1156439-29-0 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(5-chloro-2-thienyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinylmethyl]- (CA INDEX NAME)



RN 1156439-32-5 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-(phenylsulfonyl)-4-piperidinylmethyl]- (CA INDEX NAME)

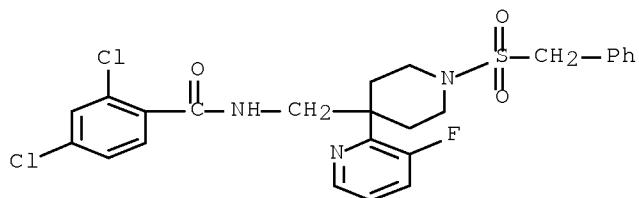


RN 1156439-34-7 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(3-fluoro-2-pyridinyl)-1-

Serial#: 10/593,950

[(phenylmethyl) sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



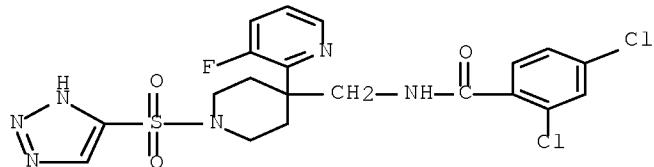
IT 895132-42-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)

RN 895132-42-0 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-ylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 6 OF 15 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:221549 HCPLUS Full-text

DOCUMENT NUMBER: 150:463324

TITLE: Discovery of GlyT1 inhibitors with improved pharmacokinetic properties

AUTHOR(S): Wolkenberg, Scott E.; Zhao, Zhijian; Wisnoski, David D.; Leister, William H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller, Philip R.; Smith, Sheri; Gibson, Christopher; Ma, Bennett K.; Polsky-Fisher, Stacey L.; Lindsley, Craig W.; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck & Co., Inc., West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(5), 1492-1495

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:463324

Serial#: 10/593,950

AB Glycine transporter 1 (GlyT1) represents a novel target for the treatment of schizophrenia via the potentiation of glutamatergic NMDA receptors. The discovery of 4,4-disubstituted piperidine inhibitors of GlyT1 which exhibit improved pharmacokinetic properties, including oral bioavailability, is discussed.

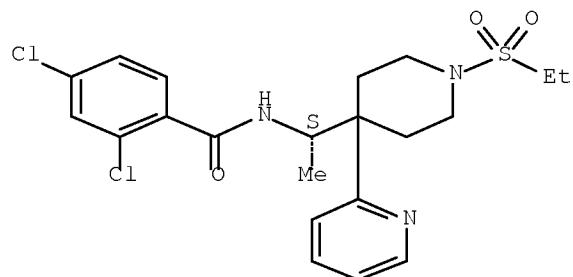
IT 866558-70-5P 866558-88-5P 866558-90-9P
866558-91-0P 866558-92-1P 866558-97-6P
1146663-97-9P 1146663-99-1P 1146664-03-0P
1146664-08-5P 1146664-10-9P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)

RN 866558-70-5 HCPLUS

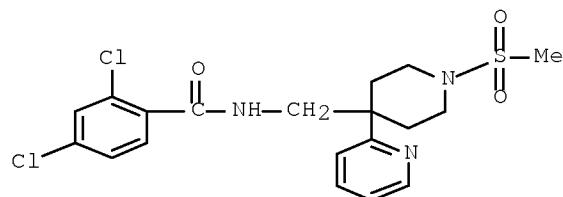
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 866558-88-5 HCPLUS

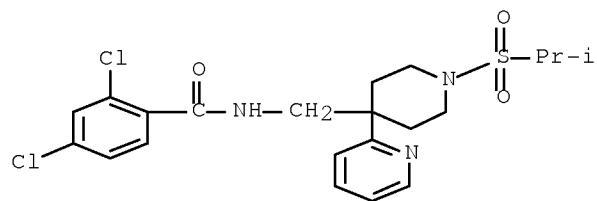
CN Benzamide, 2,4-dichloro-N-[(1-(methylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866558-90-9 HCPLUS

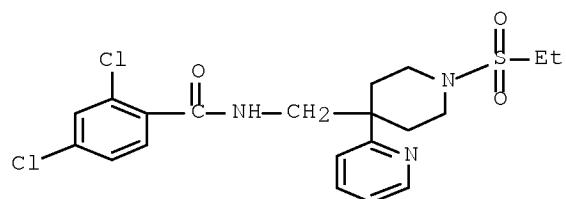
CN Benzamide, 2,4-dichloro-N-[(1-[(1-methylethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)

Serial#: 10/593,950



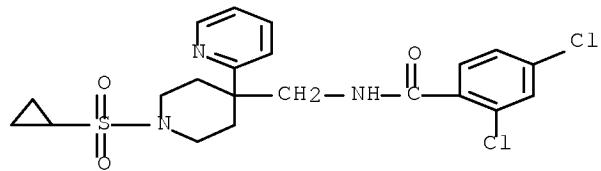
RN 866558-91-0 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



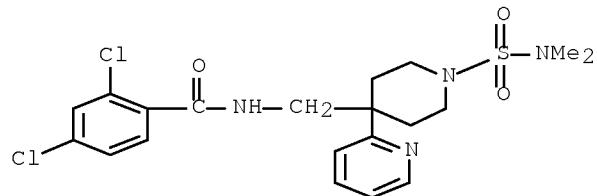
RN 866558-92-1 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-(cyclopropylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-97-6 HCPLUS

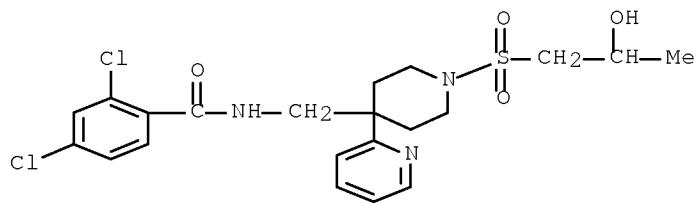
CN Benzamide, 2,4-dichloro-N-[1-[(dimethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146663-97-9 HCPLUS

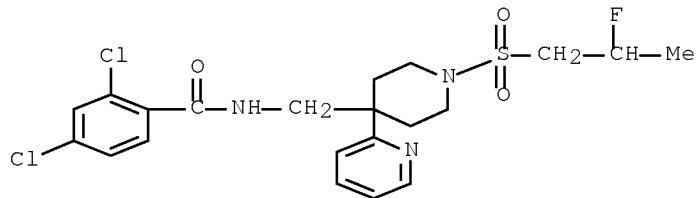
Serial#: 10/593,950

CN Benzamide, 2,4-dichloro-N-[1-[(2-hydroxypropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



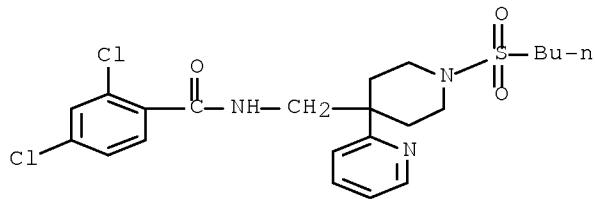
RN 1146663-99-1 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[(2-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146664-03-0 HCAPLUS

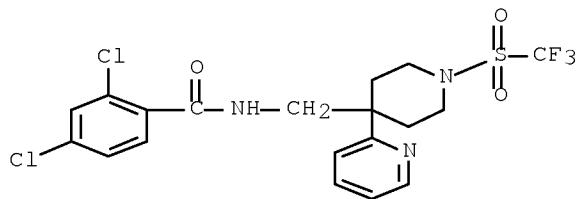
CN Benzamide, N-[1-(butylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



RN 1146664-08-5 HCAPLUS

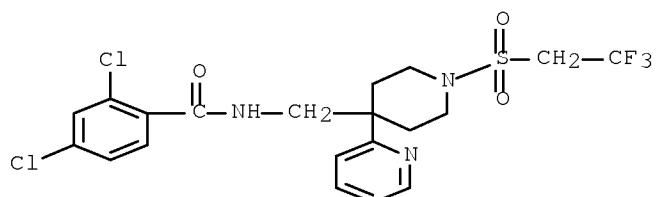
CN Benzamide, 2,4-dichloro-N-[4-(2-pyridinyl)-1-[(trifluoromethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



RN 1146664-10-9 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-(2-pyridinyl)-1-[(2,2,2-trifluoroethyl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



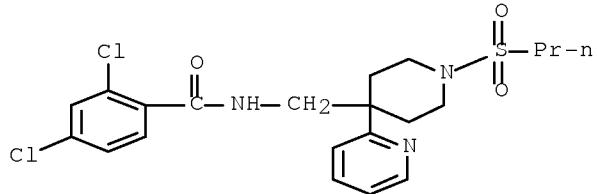
IT 866558-75-0

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)

RN 866558-75-0 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 7 OF 15 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:221548 HCPLUS Full-text

DOCUMENT NUMBER: 150:463323

TITLE: Discovery of N-[1-(propylsulfonyl)-4-pyridin-2-ylpiperidin-4-yl]methyl}benzamides as novel, selective

Serial#: 10/593,950

AUTHOR(S):

and potent GlyT1 inhibitors
Zhao, Zhijian; Leister, William H.; O'Brien, Julie A.;
Lemaire, Wei; Williams, David L.; Jacobson, Marlene
A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.;
Tiller, Philip R.; Smith, Sheri; Hartman, George D.;
Lindsley, Craig W.; Wolkenberg, Scott E.

CORPORATE SOURCE:

Department of Medicinal Chemistry, Technology Enabled
Synthesis Group, Merck & Co., Inc., West Point, PA,
19486, USA

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2009),
19(5), 1488-1491

CODEN: BMCL8; ISSN: 0960-894X

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 150:463323

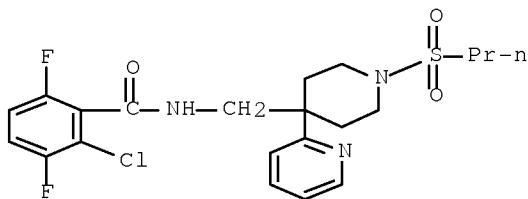
AB Employing an iterative analog library approach, novel potent and selective glycine transporter 1 (GlyT1) inhibitors containing a 4-pyridin-2-ylpiperidine sulfonamide have been discovered. These inhibitors are devoid of time-dependent CYP inhibition activity and exhibit improved aqueous solubility vs. the corresponding 4-phenylpiperidine analogs.

IT 866558-67-0P 866558-72-7P 866558-73-8P
866558-75-0P 866558-77-2P 866559-14-0P
936481-41-3P 936481-42-4P 1146403-32-8P
1146403-33-9P 1146403-35-1P 1146403-36-2P
1146403-37-3P 1146403-39-5P 1146403-42-0P
1146403-43-1P 1146403-45-3P 1146403-68-0P
1146403-69-1P 1146403-71-5P 1146403-74-8P
1146403-75-9P 1146403-82-8P 1146403-84-0P
1146403-86-2P 1146403-87-3P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
(propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel
selective GlyT1 inhibitors)

RN 866558-67-0 HCPLUS

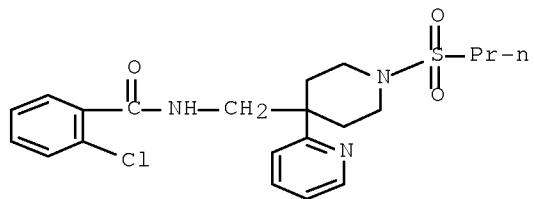
CN Benzamide, 2-chloro-3,6-difluoro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-72-7 HCPLUS

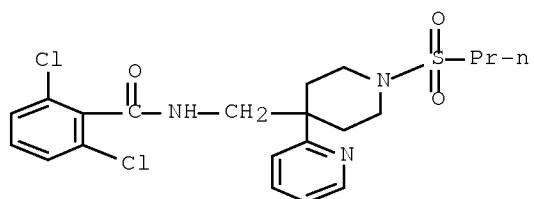
CN Benzamide, 2-chloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



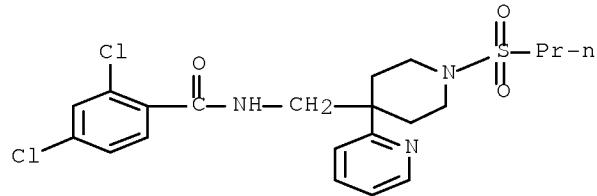
RN 866558-73-8 HCPLUS

CN Benzamide, 2,6-dichloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinylmethyl]- (CA INDEX NAME)



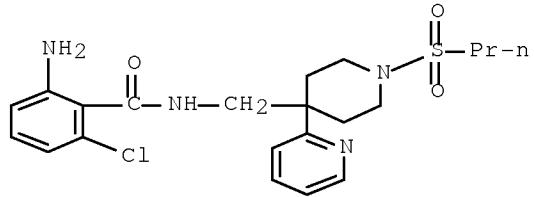
RN 866558-75-0 HCPLUS

CN Benzamide, 2,4-dichloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinylmethyl]- (CA INDEX NAME)



RN 866558-77-2 HCPLUS

CN Benzamide, 2-amino-6-chloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinylmethyl]- (CA INDEX NAME)

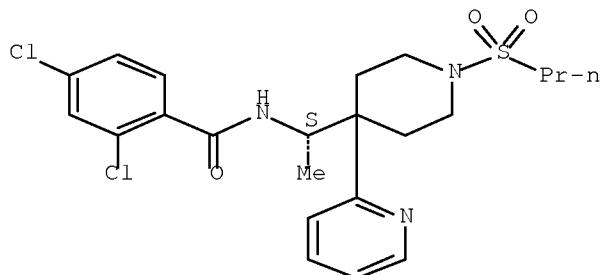


Serial#: 10/593,950

RN 866559-14-0 HCPLUS

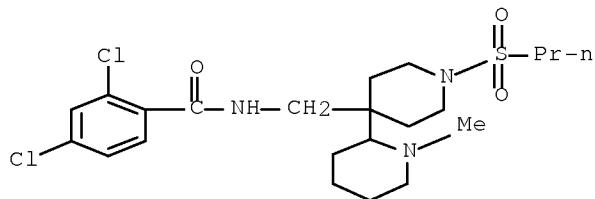
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



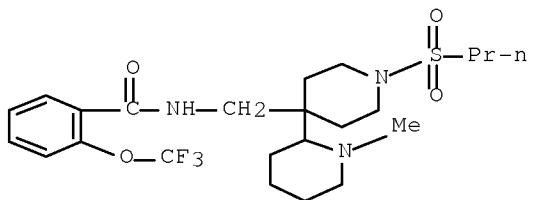
RN 936481-41-3 HCPLUS

CN Benzamide, 2,4-dichloro-N-[(1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl)methyl]- (CA INDEX NAME)



RN 936481-42-4 HCPLUS

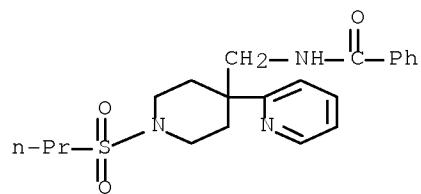
CN Benzamide, N-[(1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl)methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 1146403-32-8 HCPLUS

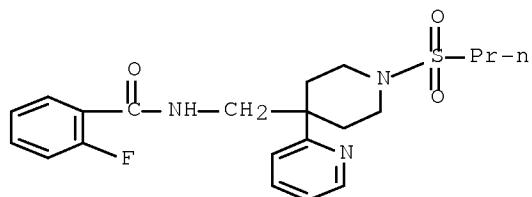
CN Benzamide, N-[(1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)

Serial#: 10/593,950



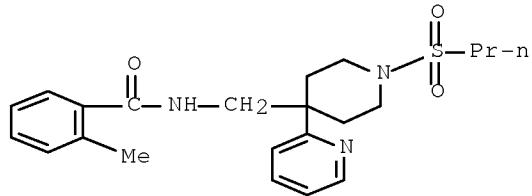
RN 1146403-33-9 HCPLUS

CN Benzamide, 2-fluoro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



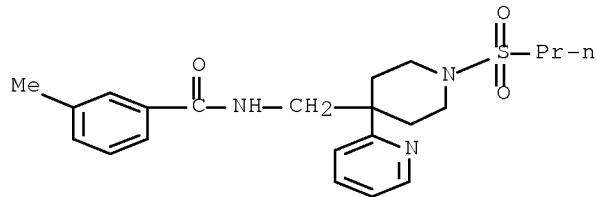
RN 1146403-35-1 HCPLUS

CN Benzamide, 2-methyl-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-36-2 HCPLUS

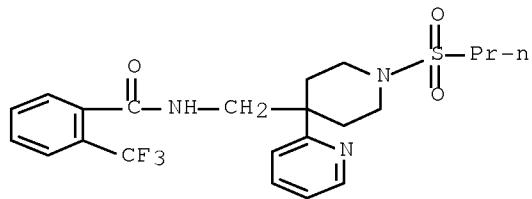
CN Benzamide, 3-methyl-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



Serial#: 10/593,950

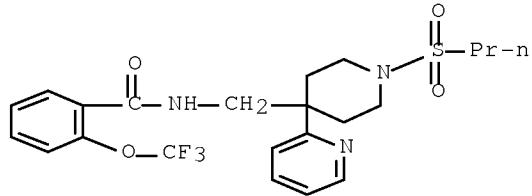
RN 1146403-37-3 HCAPLUS

CN Benzamide, N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)



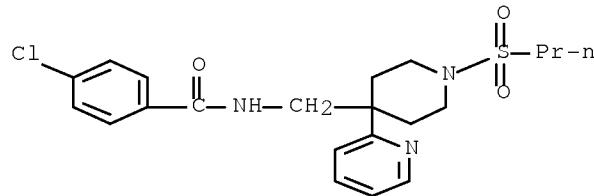
RN 1146403-39-5 HCAPLUS

CN Benzamide, N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 1146403-42-0 HCAPLUS

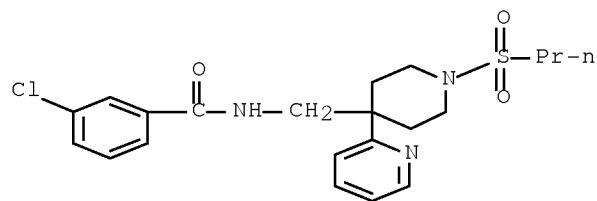
CN Benzamide, 4-chloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-43-1 HCAPLUS

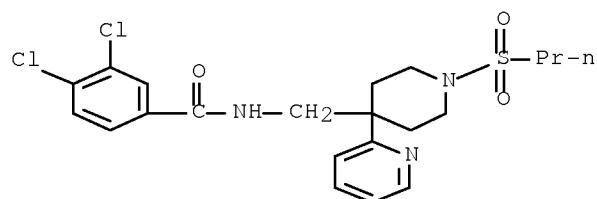
CN Benzamide, 3-chloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



RN 1146403-45-3 HCAPLUS

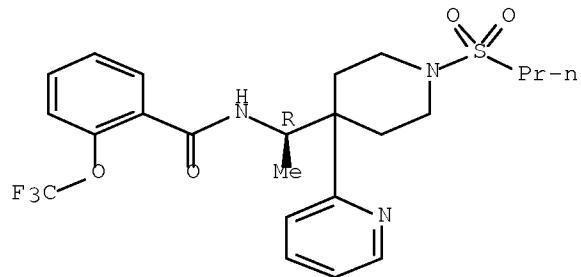
CN Benzamide, 3,4-dichloro-N-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-68-0 HCAPLUS

CN Benzamide, N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

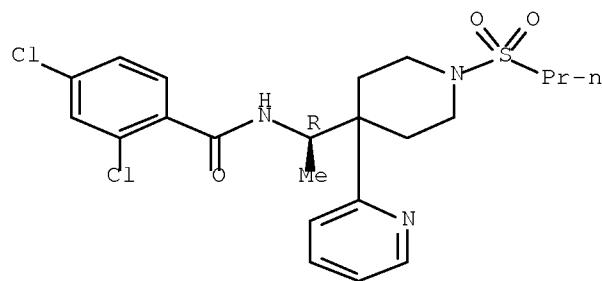


RN 1146403-69-1 HCAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

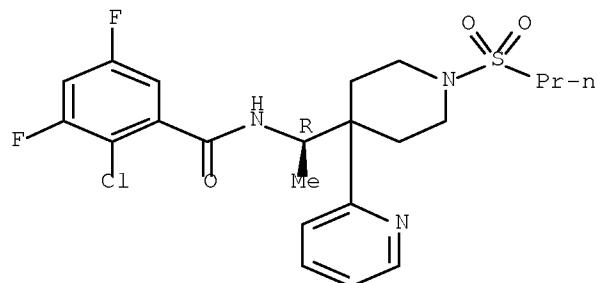
Serial#: 10/593,950



RN 1146403-71-5 HCPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

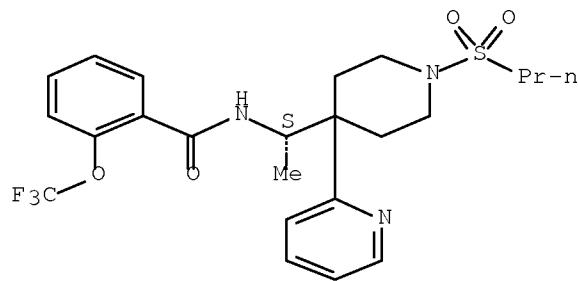
Absolute stereochemistry.



RN 1146403-74-8 HCPLUS

CN Benzamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

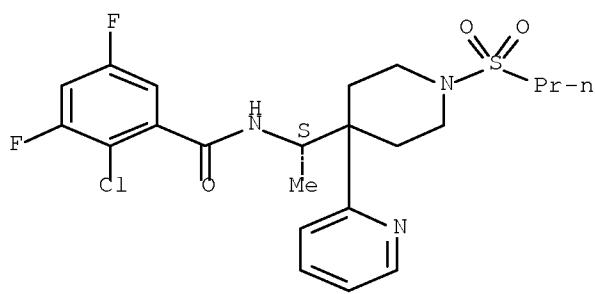


RN 1146403-75-9 HCPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

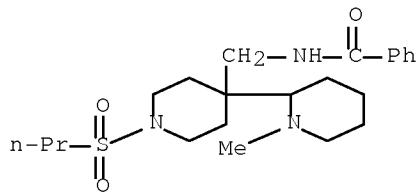
Absolute stereochemistry.

Serial#: 10/593,950



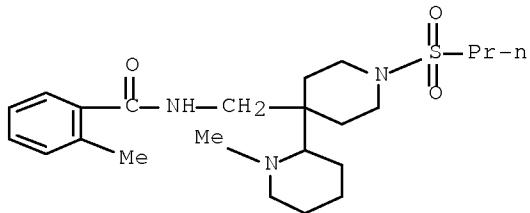
RN 1146403-82-8 HCPLUS

CN Benzamide, N-[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



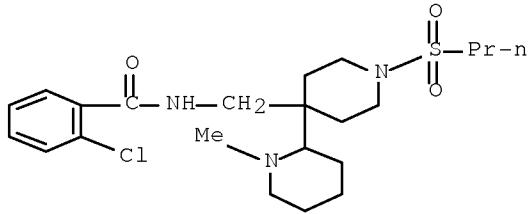
RN 1146403-84-0 HCPLUS

CN Benzamide, 2-methyl-N-[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



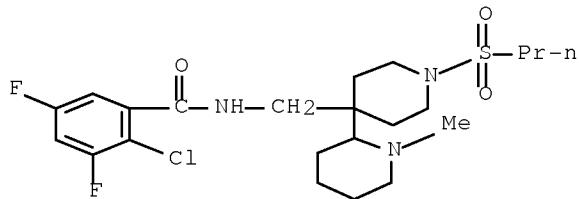
RN 1146403-86-2 HCPLUS

CN Benzamide, 2-chloro-N-[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

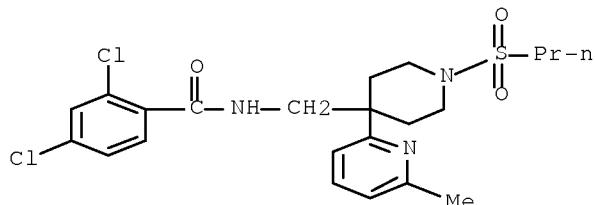


Serial#: 10/593,950

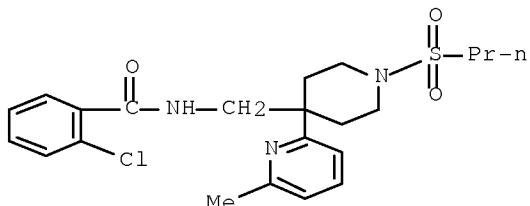
RN 1146403-87-3 HCPLUS
CN Benzamide, 2-chloro-3,5-difluoro-N-[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



IT 866558-69-2 866558-79-4 866558-87-4
866559-00-4 1146403-53-3 1146403-54-4
1146403-55-5 1146403-57-7 1146403-59-9
1146403-60-2 1146403-61-3 1146403-63-5
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)
RN 866558-69-2 HCPLUS
CN Benzamide, 2,4-dichloro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



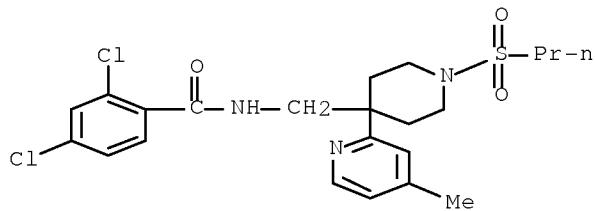
RN 866558-79-4 HCPLUS
CN Benzamide, 2-chloro-N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866558-87-4 HCPLUS

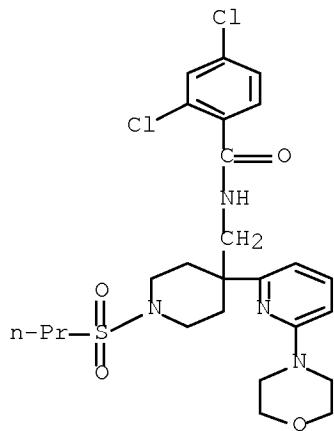
Serial#: 10/593,950

CN Benzamide, 2,4-dichloro-N-[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



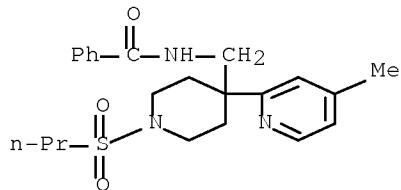
RN 866559-00-4 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-53-3 HCPLUS

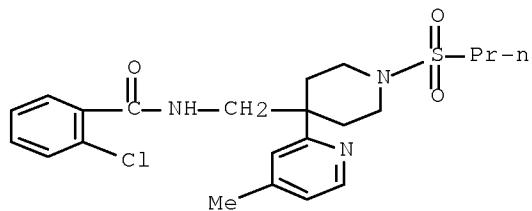
CN Benzamide, N-[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-54-4 HCPLUS

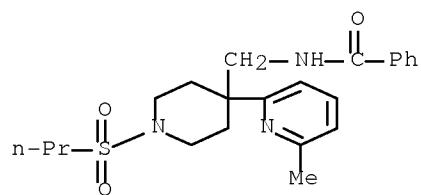
CN Benzamide, 2-chloro-N-[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

Serial#: 10/593,950



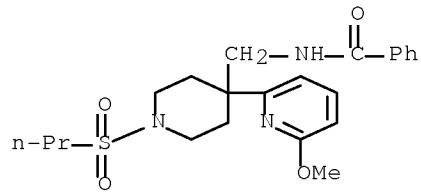
RN 1146403-55-5 HCPLUS

CN Benzamide, N-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



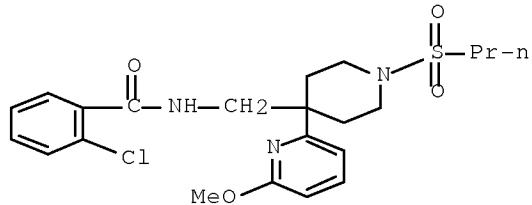
RN 1146403-57-7 HCPLUS

CN Benzamide, N-[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-59-9 HCPLUS

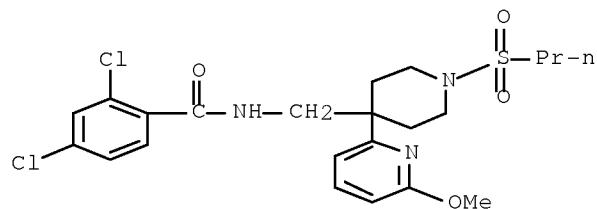
CN Benzamide, 2-chloro-N-[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



Serial#: 10/593,950

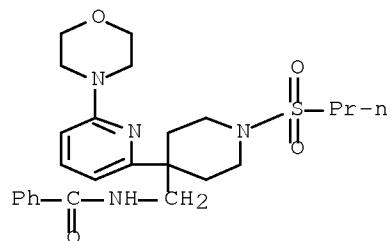
RN 1146403-60-2 HCPLUS

CN Benzamide, 2,4-dichloro-N-[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



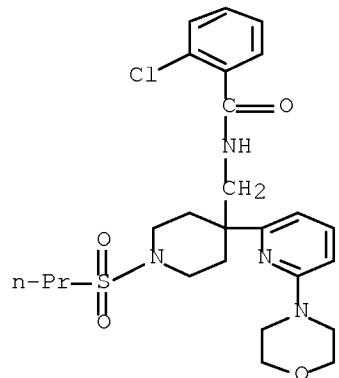
RN 1146403-61-3 HCPLUS

CN Benzamide, N-[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-63-5 HCPLUS

CN Benzamide, 2-chloro-N-[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT:

4

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

REFERENCE COUNT:

23

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

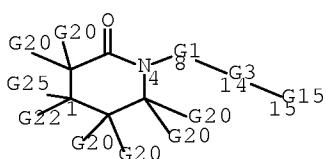
L63 ANSWER 8 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 151:148145 MARPAT Full-text
TITLE: Preparation of (phenylalkyl)phenylpiperidinone
derivatives for use as lactam inhibitors of
11-beta-hydroxysteroid dehydrogenase 1
INVENTOR(S): Claremon, David A.; Zhuang, Linghang; Ye, Yuanjie;
Singh, Suresh B.; Tice, Colin M.; Xu, Zhenrong;
Simpson, Robert D.
PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 197pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009088997	A1	20090716	WO 2009-US57	20090107
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2008-10300P 20080107
AB Title compds. I [A = bond, alkylene, OEt wherein the O is attached to Q, or CH₂C(O) wherein the carbonyl carbon is attached to Q; E = bond, (un)substituted alkylene, or alkenyloxy wherein the O is attached to R2; Q = (un)substituted aryl, heteroaryl, monocyclic cycloalkyl, or monocyclic heterocyclyl; X = bond, O, S, (un)substituted alkylene, etc.; Y = alkyl or haloalkyl; Z = H, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R1 = absent, (un)substituted alkyl, alkenyl, etc.; R2 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl, or heterocyclyl; R3 = (un)substituted alkyl, alkenyl, alkynyl, etc.; n = 0 to 2], and their pharmaceutically acceptable salts, are prepared and disclosed as lactam inhibitors of 11 β -hydroxysteroid dehydrogenase 1 (11 β -HSD1). Thus, e.g., II was prepared by condensation of 3-chloro-1-phenylpropan-1-one with Et bromoacetate followed by alkynylation with allyltrimethylsilane, and cyclization with (S)-1-(4-bromophenyl)ethanamine. Select I were evaluated in 11 β -HSD1 inhibition assays (data given).

MSTR 1

Serial#: 10/593,950



G1 = bond
G3 = any ring <containing zero or more N,
zero or more O, zero or more S (no other heteroatoms),
0 or more double bonds> (opt. subst. by (1-4) G4)
G4 = OH
G15 = any ring <containing zero or more N,
zero or more O, zero or more S (no other heteroatoms),
0 or more double bonds> (opt. subst. by (1-4) G4)
G16 = alkyl <containing 1-6 C> (subst. by OH)
G22 = pyridyl (opt. subst.)
G25 = 68 / 70

6^{G26—G27} 7^{G26—G31}

G26 = carbon chain <containing 2-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. subst.)
G31 = 92

9^{G33—G16}

G33 = NH
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional substitution and ring formation also claimed
Stereochemistry: or enantiomers or diastereomers

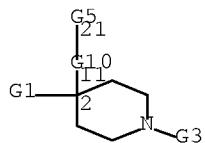
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 9 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 137:325334 MARPAT [Full-text](#)
TITLE: Preparation of aryl and biaryl piperidines as MCH antagonists
INVENTOR(S): Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu, Suresh D.; Shao, Yuefei
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Serial#: 10/593,950

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083134	A1	20021024	WO 2002-US11296	20020410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2443672	A1	20021024	CA 2002-2443672	20020410
AU 2002303299	A1	20021028	AU 2002-303299	20020410
US 20030013720	A1	20030116	US 2002-120080	20020410
US 6887889	B2	20050503		
EP 1377293	A1	20040107	EP 2002-731318	20020410
EP 1377293	B1	20100310		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004526761	T	20040902	JP 2002-580938	20020410
JP 4280073	B2	20090617		
AT 460163	T	20100315	AT 2002-731318	20020410
MX 2003009353	A	20040212	MX 2003-9353	20031010
JP 2009102430	A	20090514	JP 2009-26802	20090206
PRIORITY APPLN. INFO.:			US 2001-283523P	20010412
			JP 2002-580938	20020410
			WO 2002-US11296	20020410
AB	The title compds. [I; Ar1 = (un)substituted Ph, pyridyl, pyrimidyl, etc.; Z = R4, COR4, SO2R4, etc.; R2 = H, alkyl, alkyl substituted with cycloalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = Ph, phenylalkyl], useful for treatment, prevention or amelioration of one or more of diseases associated with the MCH receptor, were prepared E.g., a 7-step synthesis of II, starting from 3,4-difluorophenyl isocyanate, which showed Ki of 11-100 nM against MCH, was given. This invention provides also pharmaceutical compns. containing one or more of the compds. I for treatment of eating disorders.			

MSTR 1



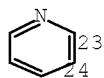
G1 = 8 / 419

1 G4—G12—G22 4 G3—G2—G2

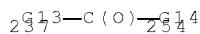
G3 = 315

O2S—G18
315

G10 = 23-2 24-21



G12 = 237-10 254-8



G13 = bond

G14 = NH

G22 = C(O)

Patent location:

claim 1

Note: additional methylenedioxy formation also claimed

Note: and N-oxides

Note: also incorporates claim 17

Note: and tautomers, and prodrugs, and pharmaceutically acceptable salts

Stereochemistry: and enantiomers, stereoisomers, rotamers, racemates

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 10 OF 15 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 131:257564 MARPAT Full-text

TITLE: Preparation of 1-pyridylalkyl-2-oxo-4-imidazolines and analogs as cytokine and PDE-IV inhibitors

INVENTOR(S): Freyne, Eddy Jean Edgard; Diels, Gaston Stanislas Marcella; Matesanz-Ballesteros, Maria Encarnacion; Diaz-Martinez, Adolfo

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

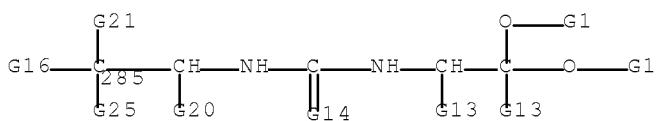
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950262	A1	19991007	WO 1999-EP2045	19990324
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2326045	A1	19991007	CA 1999-2326045	19990324

Serial#: 10/593,950

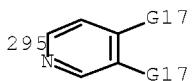
CA 2326045	C	20081209		
AU 9931474	A	19991018	AU 1999-31474	19990324
AU 760771	B2	20030522		
BR 9909326	A	20001212	BR 1999-9326	19990324
TR 2000002801	T2	20001221	TR 2000-2801	19990324
EP 1068194	A1	20010117	EP 1999-913302	19990324
EP 1068194	B1	20031105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002509927	T	20020402	JP 2000-541166	19990324
EE 200000569	A	20020415	EE 2000-569	19990324
EE 4718	B1	20061016		
HU 2001001239	A2	20020529	HU 2001-1239	19990324
NZ 507022	A	20020628	NZ 1999-507022	19990324
AT 253569	T	20031115	AT 1999-913302	19990324
PT 1068194	E	20040331	PT 1999-913302	19990324
ES 2211061	T3	20040701	ES 1999-913302	19990324
CN 1172930	C	20041027	CN 1999-804737	19990324
SK 284468	B6	20050401	SK 2000-1387	19990324
IL 138745	A	20070617	IL 1999-138745	19990324
PL 196026	B1	20071130	PL 1999-343194	19990324
CZ 298747	B6	20080116	CZ 2000-3338	19990324
TW 242558	B	20051101	TW 1999-88104881	19990329
IN 2000MN00287	A	20050304	IN 2000-MN287	20000810
IN 227702	A1	20090306		
BG 104718	A	20010430	BG 2000-104718	20000828
BG 64705	B1	20051230		
HR 2000000619	A2	20011031	HR 2000-619	20000919
HR 2000000619	B1	20040630		
NO 2000004906	A	20001128	NO 2000-4906	20000929
NO 319531	B1	20050829		
MX 2000009632	A	20010405	MX 2000-9632	20000929
US 6656959	B1	20031202	US 2000-647668	20000929
ZA 2000006190	A	20011031	ZA 2000-6190	20001031
HK 1033579	A1	20040227	HK 2001-103462	20010519
PRIORITY APPLN. INFO.:				
			EP 1998-201020	19980401
			WO 1999-EP2045	19990324

AB Title compds. [I; R = H, alk(en)yl, piperidyl, alkylsulfonyl, etc.; R₁, R₄, R₅ = H or alkyl; R₂ = H, halo, alkoxy(carbonyl), aryl, etc.; R₁R₂ = (CH₂)₁₋₄; R₃ = H, halo, OH, alkyl(oxy); R₆ = 5,6-dihydroxy- or -dialkoxy-2-pyridyl, etc.; dashed line = optional bond] were prepared as cytokine (no data) and PDE-IV inhibitors. Thus, 6-(2-amino-1-methylethyl)-4-cyclopentyloxy-3-pyridinol was amidated by ClCO₂Ph and the product amidated by (MeO)₂CHCH₂NH₂ to give, after cyclization, I (R = R₁ = R₂ = R₄ = R₅ = H, R₃ = Me, R₆ = 4-cyclopentyloxy-5-hydroxy-2-pyridyl). Data for PDE-IV inhibition of I were given.

MSTR 2



G14 = O
G16 = 295



G28 = 611

N—G29

G29 = alkylsulfonyl <containing 1-6 C>
G21+G25= 606-285 610-285

H₂C₆—CH₂—G28—CH₂—C₆H₁₀

Patent location: claim 10

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 11 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 130:282070 MARPAT Full-text
TITLE: Preparation of N-[1-(4-cyanobenzyl)-1H-imidazol-5-yl]methyl]piperidines and analogs as farnesyl protein transferase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Embrey, Mark W.; Fisher, Thorsten E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 91 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

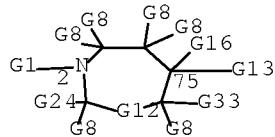
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5891889	A	19990406	US 1997-831308	19970401
US 6248756	B1	20010619	US 1999-248883	19990211
PRIORITY APPLN. INFO.:			US 1996-14791P	19960403
			US 1997-831308	19970401

AB The invention is directed to compds. which inhibit farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compns. containing the compds., and methods for inhibiting FPTase and Ras farnesylation using them. In particular, title compds. I and II and their pharmaceutically acceptable salts are claimed [wherein Ar = (un)substituted Ph; R1 = H, Me; Q1 = (un)substituted (CH₂)₀₋₄; X = bond, CH₂, CO, (un)substituted NHCO, S, SO, or SO₂; Y = H, (un)substituted alkyl, OH or derivs., SH or derivs., NH₂ or derivs., etc.; X₁ = bond, (un)substituted NHCO or NH, O, S, SO, SO₂; A₁, A₂ = bond, CH:CH, CO, O, (alkyl)imino, etc.; Q2 = (un)substituted (CH₂)₀₋₂; Z = (un)substituted aryl; addnl. substituents allowed on piperidine ring]. Over 130

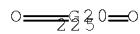
Serial#: 10/593,950

invention compds. and numerous intermediates were prepared. For instance, the invention compound III was claimed in particular, and was prepared in 5 steps. Thus, Et isonipecotate underwent a sequence of: (1) N-protection with BOC; (2) deprotonation and alkylation in the 4-position using NaN(SiMe₃)₂ and 3-(CF₃O)C₆H₄CH₂Br; (3) reduction of the Et ester to a hydroxymethyl group using LiAlH₄; (4) removal of the BOC group with HCl; and (5) reductive alkylation at N using 1-(4-cyanobenzyl)imidazole-5-carboxaldehyde and NaBH₃CN, yielding III after chromatog. In a test for inhibition of farnesylation of Ras-CVIM with human FPTase in vitro, almost all example compds. had IC₅₀ of ≤ 50 μM.

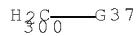
MSTR 1



G1 = 225



G12 = (0-1) CH₂ (opt. substd.)
G13 = pyridyl
G16 = 300



G20 = heterocycle <containing 5-11 atoms,
1-4 heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), mono- or bicyclic>
(opt. substd.)

G37 = NHCONH₂

Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

Note: substitution is restricted

Note: additional ring formation also claimed

Note: also incorporates broader disclosure

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 12 OF 15 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 129:67708 MARPAT Full-text

TITLE: Preparation of 8-azabicyclo[3.2.1]octane,

8-azabicyclo[3.2.1]oct-6-ene,

9-azabicyclo[3.3.1]nonane,

9-aza-3-oxabicyclo[3.3.1]nonane, and

9-aza-3-thiabicyclo[3.3.1]nonane derivatives as

Serial#: 10/593,950

INVENTOR(S): insecticides
Urch, Christopher John; Lewis, Terence; Sunley,
Raymond Leo; Salmon, Raymond; Godfrey, Christopher
Richard Ayles; Brightwell, Christopher Ian; et al.
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

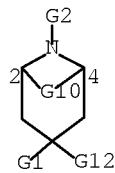
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825924	A1	19980618	WO 1997-GB3054	19971106
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9709840	A	19980526	ZA 1997-9840	19971031
CA 2271749	A1	19980618	CA 1997-2271749	19971106
AU 9748761	A	19980703	AU 1997-48761	19971106
AU 719147	B2	20000504		
EP 944627	A1	19990929	EP 1997-911349	19971106
EP 944627	B1	20040218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9713136	A	20000208	BR 1997-13136	19971106
CN 1245499	A	20000223	CN 1997-181520	19971106
SI 20020	A	20000229	SI 1997-20086	19971106
HU 2000000582	A2	20000628	HU 2000-582	19971106
HU 2000000582	A3	20011029		
JP 2001506989	T	20010529	JP 1998-526332	19971106
AT 259806	T	20040315	AT 1997-911349	19971106
ES 2216131	T3	20041016	ES 1997-911349	19971106
US 5968947	A	19991019	US 1997-969978	19971113
EG 21556	A	20011231	EG 1997-1269	19971126
US 6174894	B1	20010116	US 1999-357749	19990721
US 6177442	B1	20010123	US 1999-357750	19990721
US 6291474	B1	20010918	US 2000-635879	20000810
US 20020061913	A1	20020523	US 2001-886495	20010622
PRIORITY APPLN. INFO.:			GB 1996-24516	19961126
			GB 1996-24611	19961126
			GB 1996-24614	19961126
			WO 1997-GB3054	19971106
			US 1997-969639	19971113
			US 1997-969978	19971113
			US 1999-357750	19990721
			US 2000-635879	20000810

AB Compds. of formula [I; A = a bidentate group of the formula CH₂XCH₂ (wherein X = methylene, O, or S), X'C:CY or X'WCCYZ (wherein X', W, Y, Z = H, OH, acyloxy, alkoxy, alkylsilyloxy, cyano or halogen, or X' and W or Y and Z together with the carbon to which they are attached form a carbonyl group), provided that A ≠ CH₂CH₂; Ar = optionally substituted Ph or 5- or 6-membered heterocyclic ring system containing from 1 to 3 heteroatoms individually selected from N, O and S atoms, and at least one unsatn. (double bond) between adjacent atoms in the ring, said

Serial#: 10/593,950

heterocyclic ring being optionally fused to a benzene ring; R = H or cyano or a group selected from alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxy carbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamoyl, dithiocarboxyl, etc.; R1 = H, cyano, HO, alkyl, alkoxy, NH₂, NO₂, isocyanato, acylamino, hydroxyalkyl, optionally substituted heteroaryl, alkoxyalkyl, haloalkyl, halohydroxyalkyl, etc.; alkyl moieties of R comprise from 1 to 15 carbon atoms, and are optionally substituted with one or more substituents selected from, halogen, cyano, carboxyl, carboxyl acyl, etc.] or an acid addition salt, quaternary ammonium salt or N-oxide derived therefrom are prepared. Also claimed are an insecticidal, acaricidal or nematicidal composition comprising a compound of formula I and a suitable carrier or diluent therefor and a method of combating and controlling insect, acarid or nematode pests at a locus which comprises treating the pests or the locus of the pests with an effective amount of a compound of formula I or a composition as hereinbefore described. Thus, exo-3-cyano-9-methyl-9-azabicyclo[3.3.1]nonane and 3,5-dichloropyridine (preparation given) in THF were treated dropwise with lithium bis(trimethylsilyl)amide, and the reaction mixture was allowed to react ambient temperature for 18 h to give I [A = (CH₂)₃, Ar = exo-5-chloropyridyl, R = Me, R1 = endo-cyano], which at 500 ppm showed 80-100% mortality against peach aphid (*Myzus persicae*).

MSTR 1



G1 = pyridyl (opt. substd. by 1 or more G11)

G2 = alkylsulfonyl <containing up to 15 C>
(opt. substd.)

G12 = alkyl <containing 1-4 C> (substd. by NHCOPh)

Derivative: or acid addition salts, quaternary ammonium salts,
or N-oxides

Patent location: claim 1

Note: additional substitution and ring formation also
claimed

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 13 OF 15 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 129:67707 MARPAT Full-text

TITLE: Preparation of 8-azabicyclo[3.2.1]octane derivatives
as insecticides, acaricides, and nematicides.

INVENTOR(S): Urch, Christopher John; Lewis, Terence; Sunley,
Raymond Leo; Salmon, Roger; Godfrey, Christopher
Richard Ayles; Brightwell, Christopher Ian

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

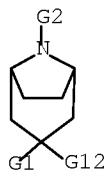
Serial#: 10/593,950

FAMILY ACC. NUM. COUNT: 2

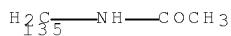
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825923	A1	19980618	WO 1997-GB2986	19971030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2272076	A1	19980618	CA 1997-2272076	19971030
AU 9747890	A	19980703	AU 1997-47890	19971030
EP 946553	A1	19991006	EP 1997-910543	19971030
EP 946553	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
BR 9713429	A	20000201	BR 1997-13429	19971030
CN 1245498	A	20000223	CN 1997-181522	19971030
JP 2001506988	T	20010529	JP 1998-526331	19971030
AT 231860	T	20030215	AT 1997-910543	19971030
ES 2186876	T3	20030516	ES 1997-910543	19971030
US 6093726	A	20000725	US 1997-969639	19971113
US 6174894	B1	20010116	US 1999-357749	19990721
US 6177442	B1	20010123	US 1999-357750	19990721
US 6291474	B1	20010918	US 2000-635879	20000810
US 20020061913	A1	20020523	US 2001-886495	20010622
PRIORITY APPLN. INFO.:			GB 1996-24611	19961126
			GB 1996-24516	19961126
			GB 1996-24614	19961126
			WO 1997-GB2986	19971030
			US 1997-969639	19971113
			US 1997-969978	19971113
			US 1999-357750	19990721
			US 2000-635879	20000810
AB	Title compds. [I; Ar = (substituted) Ph, 5- or 6-membered heterocyclyl; R = H, cyano, alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxy carbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamyl, dithiocarboxyl, etc.; R1 = H, OH, alkyl, alkoxy, amino, NO ₂ , isocyanato, acylamino, hydroxyalkyl, (substituted) heteroaryl, alkoxyalkyl, etc.; with provisos], were prepared. Thus, 2,5-dimethoxytetrahydrofuran, 2,2,2-trifluoroethylamine hydrochloride, acetonedicarboxylic acid, and NaOAc were stirred 2 days in H ₂ O containing HCl to give 8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octan-3-one. This was treated with tosylmethyl isocyanide in 1,2-dimethoxyethane/ethanol to give exo-3-cyano-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter in THF was treated with LDA and 3,5-dichloropyridine at -25° to room temperature and the product was reduced with LiAlH ₄ in Et ₂ O at -10° to give exo-3-(5-chloropyrid-3-yl)-endo-3-formyl-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter at 500 ppm on cabbage leaves gave 80-100% kill of <i>Myzus persicae</i> .			

MSTR 1



G1 = pyridyl (opt. substd. by 1 or more G11)
G2 = alkylsulfonyl <containing up to 15 C>
(opt. substd.)
G12 = 135



Derivative: or acid addition salts, quaternary ammonium salts,
or N-oxides
Patent location: claim 1
Note: additional substitution and ring formation also
claimed
Note: also incorporates claim 8

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 14 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 123:313779 MARPAT Full-text
TITLE: Preparation of geminal-disubstituted azacyclic tachykinin antagonists
INVENTOR(S): Baker, Raymond; Lewis, Richard Thomas; Macleod, Angus Murray; Stevenson, Graeme Irvine
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

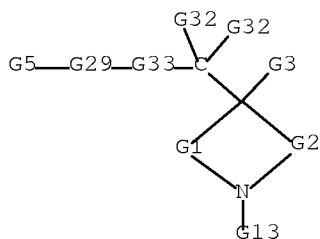
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519344	A1	19950720	WO 1995-GB57	19950112
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US			
RW:	KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2180746	A1	19950720	CA 1995-2180746	19950112
AU 9513902	A	19950801	AU 1995-13902	19950112
AU 685212	B2	19980115		
EP 739336	A1	19961030	EP 1995-905204	19950112
EP 739336	B1	19980826		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			

Serial#: 10/593,950

JP 09507500	T	19970729	JP 1995-518907	19950112
AT 170174	T	19980915	AT 1995-905204	19950112
ES 2120170	T3	19981016	ES 1995-905204	19950112
US 5760018	A	19980602	US 1996-676152	19960711
PRIORITY APPLN. INFO.:			GB 1994-542	19940113
			GB 1994-3072	19940217
			WO 1995-GB57	19950112

AB The title compds. [I; A1, A2 = H, C1-4 alkyl; m = 2-4; n = 0-2; R1, R2 = (un)substituted Ph; R3 = H, COR9, CO2R10, COCONR10R11, COCO2R10, SO2R15, etc.; R4 = C1-6 alkyl substituted by a hydroxy group, (CH₂)_pNR10R11, CO2R16, CONR10R11, etc.; R5 = H, C1-6 alkyl; R6, R7 = H, C1-6 alkyl; R9 = alkyl, cycloalkyl, Ph; R10, R11 = H, alkyl; R15 = alkyl, CF₃, (un)substituted Ph; R16 = alkyl; p = 1-4; X = O, (un)substituted NH], useful as tachykinin antagonists (no data) for the treatment of pain (no data), inflammation (no data), migraine (no data), and emesis (no data), are prepared. Thus, 4-phenyl-4-[[1-[3,5-(trifluoromethyl)phenyl]-2-hydroxyethoxy]methyl]piperidine hydrochloride (m.p. 198-202°) was prepared from 4-phenyl-4-carboxypiperidine tosylate in 5 steps.

MSTR-1



G1 = (2-4) CH₂ (opt. subst. by alkyl <containing 1-4 C>
)
 G2 = (0-2) CH₂ (opt. subst. by alkyl <containing 1-4 C>
)
 G3 = pyridyl (opt. subst.)
 G13 = 43

O 2 S—G 1 6

G29 = cycloalkylene <containing 3-6 C,
attached through 1-3 C> (opt. subst. by (1-2) G31)

G31 = alkoxy <containing 1-6 C>

G33 = NH

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE

REFERENCE COUNT

L63 ANSWER 15 OF 15 MARPAT COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 121-205217 MARPAT Full Text

L63 ANSWER 15 OF 15 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 121:205217 MARPAT Full-text

TITLE: 4-(aminomethyl/thiomethyl/sulfonylmethyl)-4-phenylpiperidine tachykinin receptor antagonists

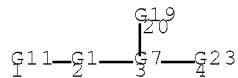
Serial#: 10/593,950

INVENTOR(S): Macleod, Angus Murray; Stevenson, Graeme Irvine
 PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

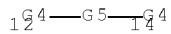
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413639	A1	19940623	WO 1993-GB2535	19931210
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150951	A1	19940623	CA 1993-2150951	19931210
AU 9456573	A	19940704	AU 1994-56573	19931210
AU 682838	B2	19971023		
EP 673367	A1	19950927	EP 1994-902065	19931210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08504435	T	19960514	JP 1993-513951	19931210
US 5661162	A	19970826	US 1995-448622	19950606
PRIORITY APPLN. INFO.:			GB 1992-26014	19921214
			GB 1993-13726	19930702
			GB 1993-14486	19930712
			WO 1993-GB2535	19931210

AB The title compds. [I; R1, R2 = (un)substituted C1-6 alkyl, alkenyl, alkynyl, halogen, CN, NO₂, CF₃, etc.; R3 = H, (un)substituted alkylcarbonyl, (un)substituted CO₂H, (un)substituted CONH₂, etc.; R5-R8 = H, C1-6 alkyl; X = NR₄, SO, SO₂; R4 = H, alkyl, CHO, Bz, alkylcarbonyl; m = 2-4; n = 0-2 when m = 2-3 and n = 0-1 when m = 4], useful as tachykinin receptor antagonists (no data), are prepared. Thus, 4-(2-methoxybenzylaminomethyl)-4-phenylpiperidine dihydrochloride, m.p. 78-80°, was prepared from 4-cyano-4-phenylpiperidine hydrochloride in 4 steps.

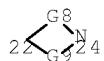
MSTR 1



G1 = 12-1 14-3



G4 = C(O)
 G5 = NH
 G7 = 22-20 22-2 24-4



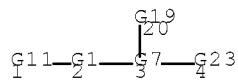
Serial#: 10/593,950

G8 = (0-2) CH2
G9 = (2-3) CH2
G19 = pyridyl
G23 = 68

O₆S—G29

Derivative: or a salt or prodrug
Patent location: claim 1

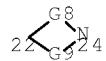
MSTR 1



G1 = 12-1 14-3

1G4—G5—1G4

G4 = C(O)
G5 = NH
G7 = 22-20 22-2 24-4



G8 = (0-2) CH2
G9 = (2-3) CH2
G19 = pyridyl
G23 = 68

O₆S—G29

Derivative: or a salt or prodrug
Patent location: claim 1

MSTR 2

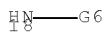
Serial#: 10/593,950



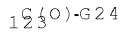
G1 = 14



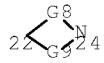
G4 = C(O)
G5 = 18



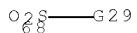
G6 = 123



G7 = 22-20 22-2 24-4



G8 = (0-2) CH2
G9 = (2-3) CH2
G19 = pyridyl
G23 = 68



Patent location:
Note:

claim 14
structure also incorporates claim 15 and 17

REFERENCE COUNT:

7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Serial#: 10/593,950

INVENTOR SEARCH

=> FILE HCPLUS

FILE 'HCPLUS' ENTERED AT 16:04:59 ON 21 MAY 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 May 2010 VOL 152 ISS 22
FILE LAST UPDATED: 20 May 2010 (20100520/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

HCplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

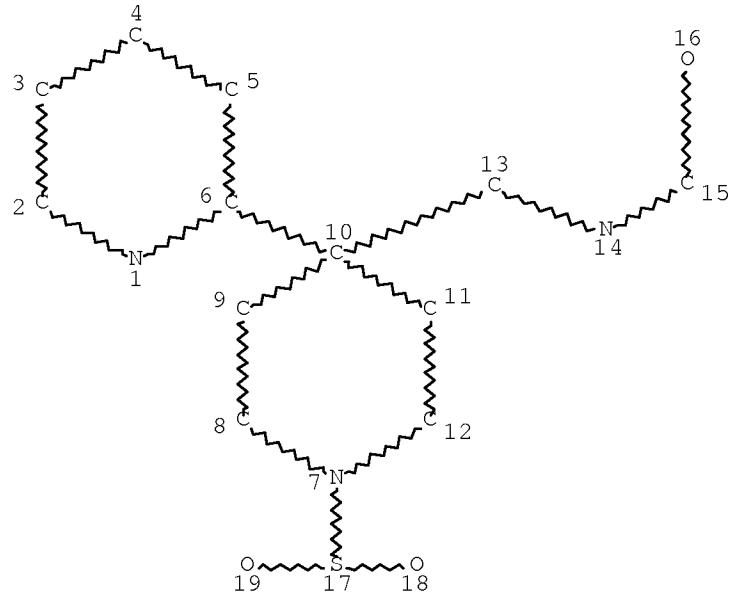
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCPLUS' FILE

=> D STAT QUE L37

L4 STR



Serial#: 10/593,950

NODE ATTRIBUTES:

```
NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS R AT 7
NSPEC IS R AT 8
NSPEC IS R AT 9
NSPEC IS R AT 10
NSPEC IS R AT 11
NSPEC IS R AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
NSPEC IS RC AT 18
NSPEC IS RC AT 19
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 13 14 15 16 17 18 19
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

```
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19
```

STEREO ATTRIBUTES: NONE

```
L5 ( 165)SEA FILE=REGISTRY SSS FUL L4
L6 ( 7)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L5
L7 ( 23)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON BLACKABY W?/AU
L8 ( 314)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON DUGGAN M?/AU
L9 ( 125)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON HALLETT D?/AU
L10 ( 572)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON HARTMAN G?/AU
L11 ( 352)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON JENNINGS A?/AU
L12 ( 47)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON LEISTER W?/AU
L13 ( 4045)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON LEWIS R?/AU
L14 ( 261)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON LINDSLEY C?/AU
L15 ( 116)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON NAYLOR E?/AU
L16 ( 154)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON STREET L?/AU
L17 ( 119179)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WANG Y?/AU
L18 ( 32)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WISNOSKI D?/AU
L19 ( 53)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON WOLKENBERG S?/AU
L20 ( 14966)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ZHAO Z?/AU
L21 ( 139170)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L7 OR L8 OR L9 OR
          L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR
          L19 OR L20)
L22 ( 7)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L6 AND L21
L23 ( 19)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L7 AND ((L8 OR L9 OR
          L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR
          L19 OR L20))
L24 ( 98)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L8 AND ((L9 OR L10 OR
          L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR
          L20))
L25 ( 28)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L9 AND ((L10 OR L11
          OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR
          L20))
L26 ( 21)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L10 AND ((L11 OR L12
```

Serial#: 10/593,950

OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L27 (13)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L11 AND ((L12 OR L13
OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L28 (20)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L12 AND ((L13 OR L14
OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L29 (37)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L13 AND ((L14 OR L15
OR L16 OR L17 OR L18 OR L19 OR L20))
L30 (62)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L14 AND ((L15 OR L16
OR L17 OR L18 OR L19 OR L20))
L31 (4)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L15 AND ((L16 OR L17
OR L18 OR L19 OR L20))
L32 (2)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L16 AND ((L17 OR L18
OR L19 OR L20))
L33 (734)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L17 AND ((L18 OR L19
OR L20))
L34 (14)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L18 AND ((L19 OR
L20))
L35 (17)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19 AND L20
L36 (1)SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L23 AND L24 AND L25
AND L26 AND L27 AND L28 AND L29 AND L30 AND L31 AND L32 AND
L33 AND L34 AND L35
L37 7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L22 OR L36

=> S L37 NOT L3

L64 0 L37 NOT L3

=> FILE WPIX

FILE 'WPIX' ENTERED AT 16:05:19 ON 21 MAY 2010

COPYRIGHT (C) 2010 THOMSON REUTERS

FILE LAST UPDATED: 14 MAY 2010 <20100514/UP>

MOST RECENT UPDATE: 201031 <201031/DW>

DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
and FI-Terms have been updated with reclassifications to
end of March 2010.

No update date (UP) has been created for the reclassified
documents, but they can be identified by
specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)

STN USER DOCUMENTATION, PLEASE VISIT:

http://www.stn-international.com/stn_dwpi.html <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

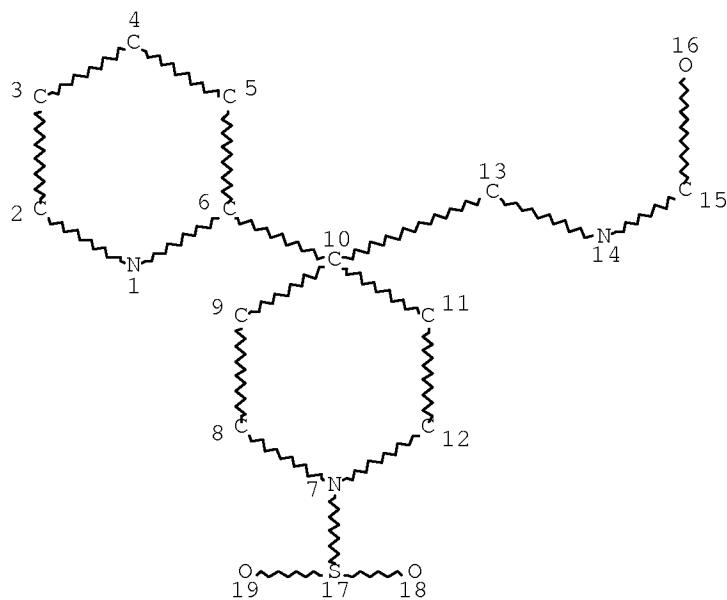
>>> New display format ALLSTR available - see NEWS <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

=> D STAT QUE L59

L38 STR

Serial#: 10/593,950



NODE ATTRIBUTES:

```
NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS R AT 7
NSPEC IS R AT 8
NSPEC IS R AT 9
NSPEC IS R AT 10
NSPEC IS R AT 11
NSPEC IS R AT 12
NSPEC IS RC AT 13
NSPEC IS RC AT 14
NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
NSPEC IS RC AT 18
NSPEC IS RC AT 19
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 13 14 15 16 17 18 19
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L41	92 SEA FILE=WPIX SSS FUL L38				
L42	3 SEA FILE=WPIX SPE=ON ABB=ON	PLU=ON	L41/DCR		
L43	16 SEA FILE=WPIX SPE=ON ABB=ON	PLU=ON	BLACKABY W?/AU		
L44	166 SEA FILE=WPIX SPE=ON ABB=ON	PLU=ON	DUGGAN M?/AU		
L45	42 SEA FILE=WPIX SPE=ON ABB=ON	PLU=ON	HALLETT D?/AU		
L46	238 SEA FILE=WPIX SPE=ON ABB=ON	PLU=ON	HARTMAN G?/AU		
L47	180 SEA FILE=WPIX SPE=ON ABB=ON	PLU=ON	JENNINGS A?/AU		

Serial#: 10/593,950

L48 3 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON LEISTER W?/AU
L49 995 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON LEWIS R?/AU
L50 43 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON LINDSLEY C?/AU
L51 27 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON NAYLOR E?/AU
L52 93 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON STREET L?/AU
L53 39635 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON WANG Y?/AU
L54 10 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON WISNOSKI D?/AU
L55 15 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON WOLKENBERG S?/AU
L56 5813 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON ZHAO Z?/AU
L57 3 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L42 AND ((L43 OR L44 OR
L45 OR L46 OR L47 OR L48 OR L49 OR L50 OR L51 OR L52 OR L53 OR
L54 OR L55 OR L56))
L58 1 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L43 AND L44 AND L45 AND
L46 AND L47 AND L48 AND L49 AND L50 AND L51 AND L52 AND L53
AND L54 AND L55 AND L56
L59 3 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L57 OR L58

=> S L59 NOT L42

L65 0 L59 NOT L42

SEARCH HISTORY

FILE 'HCAPLUS' ENTERED AT 15:49:20 ON 21 MAY 2010
ACT ANS1/A

L1 STR
L2 (165)SEA SSS FUL L1
L3 7 SEA SPE=ON ABB=ON PLU=ON L2

ACT ANS2/A

L4 STR
L5 (165)SEA SSS FUL L4
L6 (7)SEA SPE=ON ABB=ON PLU=ON L5
L7 (23)SEA SPE=ON ABB=ON PLU=ON BLACKABY W?/AU
L8 (314)SEA SPE=ON ABB=ON PLU=ON DUGGAN M?/AU
L9 (125)SEA SPE=ON ABB=ON PLU=ON HALLETT D?/AU
L10 (572)SEA SPE=ON ABB=ON PLU=ON HARTMAN G?/AU
L11 (352)SEA SPE=ON ABB=ON PLU=ON JENNINGS A?/AU
L12 (47)SEA SPE=ON ABB=ON PLU=ON LEISTER W?/AU
L13 (4045)SEA SPE=ON ABB=ON PLU=ON LEWIS R?/AU
L14 (261)SEA SPE=ON ABB=ON PLU=ON LINDSLEY C?/AU
L15 (116)SEA SPE=ON ABB=ON PLU=ON NAYLOR E?/AU
L16 (154)SEA SPE=ON ABB=ON PLU=ON STREET L?/AU
L17 (119179)SEA SPE=ON ABB=ON PLU=ON WANG Y?/AU
L18 (32)SEA SPE=ON ABB=ON PLU=ON WISNOSKI D?/AU
L19 (53)SEA SPE=ON ABB=ON PLU=ON WOLKENBERG S?/AU
L20 (14966)SEA SPE=ON ABB=ON PLU=ON ZHAO Z?/AU
L21 (139170)SEA SPE=ON ABB=ON PLU=ON (L7 OR L8 OR L9 OR L10 OR L11 OR
L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20)
L22 (7)SEA SPE=ON ABB=ON PLU=ON L6 AND L21
L23 (19)SEA SPE=ON ABB=ON PLU=ON L7 AND ((L8 OR L9 OR L10 OR L11 OR
L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L24 (98)SEA SPE=ON ABB=ON PLU=ON L8 AND ((L9 OR L10 OR L11 OR L12
OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L25 (28)SEA SPE=ON ABB=ON PLU=ON L9 AND ((L10 OR L11 OR L12 OR L13
OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L26 (21)SEA SPE=ON ABB=ON PLU=ON L10 AND ((L11 OR L12 OR L13 OR L14
OR L15 OR L16 OR L17 OR L18 OR L19 OR L20))
L27 (13)SEA SPE=ON ABB=ON PLU=ON L11 AND ((L12 OR L13 OR L14 OR L15
OR L16 OR L17 OR L18 OR L19 OR L20))
L28 (20)SEA SPE=ON ABB=ON PLU=ON L12 AND ((L13 OR L14 OR L15 OR L16
OR L17 OR L18 OR L19 OR L20))
L29 (37)SEA SPE=ON ABB=ON PLU=ON L13 AND ((L14 OR L15 OR L16 OR L17
OR L18 OR L19 OR L20))
L30 (62)SEA SPE=ON ABB=ON PLU=ON L14 AND ((L15 OR L16 OR L17 OR L18
OR L19 OR L20))
L31 (4)SEA SPE=ON ABB=ON PLU=ON L15 AND ((L16 OR L17 OR L18 OR L19
OR L20))
L32 (2)SEA SPE=ON ABB=ON PLU=ON L16 AND ((L17 OR L18 OR L19 OR
L20))
L33 (734)SEA SPE=ON ABB=ON PLU=ON L17 AND ((L18 OR L19 OR L20))
L34 (14)SEA SPE=ON ABB=ON PLU=ON L18 AND ((L19 OR L20))
L35 (17)SEA SPE=ON ABB=ON PLU=ON L19 AND L20
L36 (1)SEA SPE=ON ABB=ON PLU=ON L23 AND L24 AND L25 AND L26 AND
L27 AND L28 AND L29 AND L30 AND L31 AND L32 AND L33 AND L34
AND L35
L37 7 SEA SPE=ON ABB=ON PLU=ON L22 OR L36

Serial#: 10/593,950

D L3 HITSTR

FILE 'REGISTRY' ENTERED AT 15:53:35 ON 21 MAY 2010
ACT STRU1/A

L38 STR
L39 165 SEA SSS FUL L38

FILE 'WPIX' ENTERED AT 15:53:52 ON 21 MAY 2010
L40 12 SEA SSS SAM L38
L41 92 SEA SSS FUL L38
L42 3 SEA SPE=ON ABB=ON PLU=ON L41/DCR
L43 16 SEA SPE=ON ABB=ON PLU=ON BLACKABY W?/AU
L44 166 SEA SPE=ON ABB=ON PLU=ON DUGGAN M?/AU
L45 42 SEA SPE=ON ABB=ON PLU=ON HALLETT D?/AU
L46 238 SEA SPE=ON ABB=ON PLU=ON HARTMAN G?/AU
L47 180 SEA SPE=ON ABB=ON PLU=ON JENNINGS A?/AU
L48 3 SEA SPE=ON ABB=ON PLU=ON LEISTER W?/AU
L49 995 SEA SPE=ON ABB=ON PLU=ON LEWIS R?/AU
L50 43 SEA SPE=ON ABB=ON PLU=ON LINDSLEY C?/AU
L51 27 SEA SPE=ON ABB=ON PLU=ON NAYLOR E?/AU
L52 93 SEA SPE=ON ABB=ON PLU=ON STREET L?/AU
L53 39635 SEA SPE=ON ABB=ON PLU=ON WANG Y?/AU
L54 10 SEA SPE=ON ABB=ON PLU=ON WISNOSKI D?/AU
L55 15 SEA SPE=ON ABB=ON PLU=ON WOLKENBERG S?/AU
L56 5813 SEA SPE=ON ABB=ON PLU=ON ZHAO Z?/AU
L57 3 SEA SPE=ON ABB=ON PLU=ON L42 AND ((L43 OR L44 OR L45 OR L46
OR L47 OR L48 OR L49 OR L50 OR L51 OR L52 OR L53 OR L54 OR L55
OR L56))
L58 1 SEA SPE=ON ABB=ON PLU=ON L43 AND L44 AND L45 AND L46 AND
L47 AND L48 AND L49 AND L50 AND L51 AND L52 AND L53 AND L54
AND L55 AND L56
L59 3 SEA SPE=ON ABB=ON PLU=ON L57 OR L58

FILE 'BEILSTEIN' ENTERED AT 16:01:06 ON 21 MAY 2010
L60 0 SEA SPE=ON ABB=ON PLU=ON L39

FILE 'MARPAT' ENTERED AT 16:01:17 ON 21 MAY 2010
L61 1 SEA SSS SAM L38
L62 12 SEA SSS FUL L38

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 21 MAY 2010
D STAT QUE L3

FILE 'WPIX' ENTERED AT 16:02:15 ON 21 MAY 2010
D STAT QUE L42

FILE 'MARPAT' ENTERED AT 16:02:29 ON 21 MAY 2010
D STAT QUE L62

FILE 'HCAPLUS, WPIX, MARPAT' ENTERED AT 16:02:50 ON 21 MAY 2010
L63 15 DUP REMOVE L3 L42 L62 (7 DUPLICATES REMOVED)
ANSWERS '1-7' FROM FILE HCAPLUS
ANSWERS '8-15' FROM FILE MARPAT
D L63 IBIB ABS HITSTR 1-7
D L63 IBIB AB QHIT 8-15

FILE 'HCAPLUS' ENTERED AT 16:04:59 ON 21 MAY 2010
D STAT QUE L37
L64 0 SEA SPE=ON ABB=ON PLU=ON L37 NOT L3

Serial#: 10/593,950

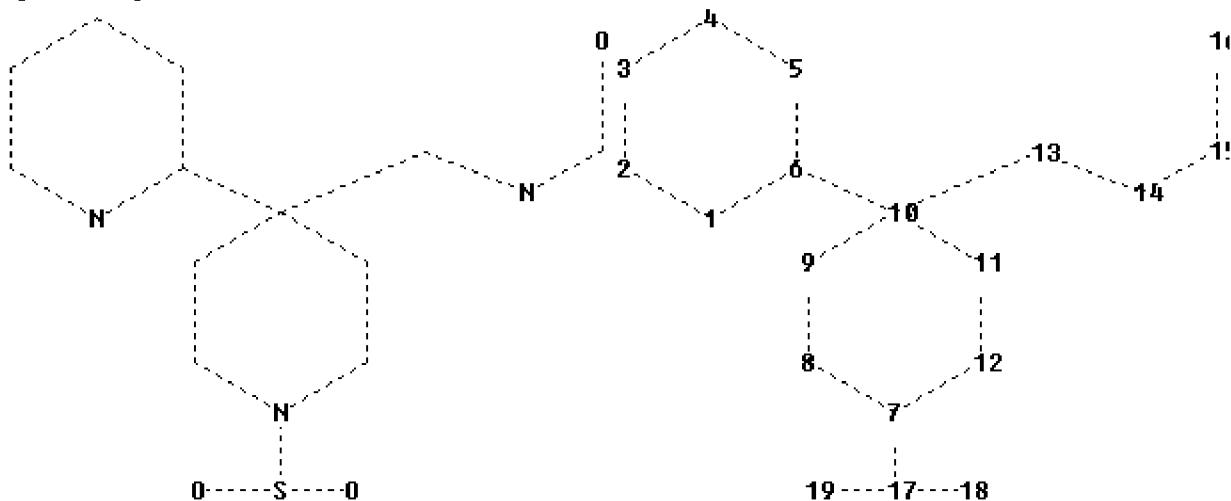
FILE 'WPIX' ENTERED AT 16:05:19 ON 21 MAY 2010

D STAT QUE L59

L65 0 SEA SPE=ON ABB=ON PLU=ON L59 NOT L42

=>

Uploading LL3.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

13 14 15 16 17 18 19

chain bonds :

6-10 7-17 10-13 13-14 14-15 15-16 17-18 17-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-10 7-8 7-12 7-17 8-9 9-10 10-11 10-13 11-12

13-14 14-15 15-16 17-18 17-19

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS